```
=> d his

     (FILE 'HOME' ENTERED AT 11:10:24 ON 01 DEC 2006)

     FILE 'EPFULL, FRFULL, GBFULL, PATDPAFULL, PCTFULL, RDISCLOSURE,
     USPATFULL, USPAT2' ENTERED AT 11:10:49 ON 01 DEC 2006
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                E MEDTRONIC MINIMED/PA
L1           458 S E4-E8
L2             4 S L1 AND THYMIDINE
                E WALSH JOSEPH/IN
L3           103 S E3-8
L4             8 S L3 AND  THYMIDINE

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L5             1 S  WO 2005058246/PN
                SELECT L5 1 RN
L6          8517 S E1-E6

     FILE 'REGISTRY' ENTERED AT 11:19:14 ON 01 DEC 2006
L7             1 S 15981-92-7/RN
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                SET NOTICE LOGIN DISPLAY

     FILE 'REGISTRY' ENTERED AT 11:20:50 ON 01 DEC 2006
L8             1 S 191474-13-2/RN
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                SET NOTICE LOGIN DISPLAY

     FILE 'REGISTRY' ENTERED AT 11:21:27 ON 01 DEC 2006
L9             1 S 287114-80-1/RN
                SET NOTICE 1 DISPLAY
                SET NOTICE LOGIN DISPLAY

     FILE 'REGISTRY' ENTERED AT 11:23:19 ON 01 DEC 2006
L10            1 S 50-89-5/RN
                SET NOTICE 1 DISPLAY
                SET NOTICE LOGIN DISPLAY

     FILE 'REGISTRY' ENTERED AT 11:23:43 ON 01 DEC 2006
L11            1 S 852689-54-4/RN
                SET NOTICE 1 DISPLAY
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     FILE 'REGISTRY' ENTERED AT 11:25:20 ON 01 DEC 2006
L12            1 S 852689-55-5/RN
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                SET NOTICE LOGIN DISPLAY

     FILE 'CAPLUS' ENTERED AT 11:25:42 ON 01 DEC 2006
L13           66 S L7 OR L8
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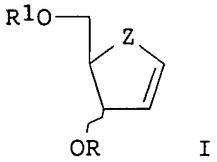
=> d ibib abs hitstr 11-30

L13 ANSWER 11 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:97429 CAPLUS
DOCUMENT NUMBER: 138:137529
TITLE: Process for the preparation of 2'-3'-dideoxy-2',3'-didehydro-nucleosides
INVENTOR(S): Liotta, Dennis C.; Choi, Woo-Baeg
PATENT ASSIGNEE(S): Emory University, USA
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003010179	A1	20030206	WO 2001-US23267	20010724

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-220373P P 20000724
OTHER SOURCE(S): MARPAT 138:137529
GI



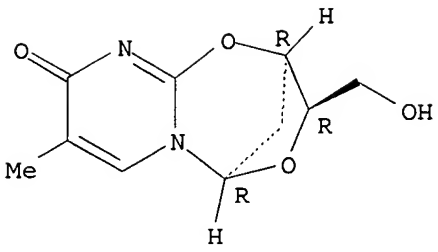
I

AB The present invention is an efficient synthetic route to 2',3'-dideoxy-2',3'-didehydro-nucleosides, e.g. I, wherein Z is carbon, heteroatom; R is H, silyl, activating group with a metal to form an allyl complex; R1 is oxygen protecting group. This process utilizes metal mediated allyl chemical to achieve coupling of a heterocyclic base, including a purine, pyrimidine, or other heterocyclic or heteroaryl compound to a glycal to produce a nucleoside with high regio- and enantioselectivity. Thus, β-D-2',3'-dideoxy-2',3'-didehydro-5-fluorocytidine was prepared via regio- and enantioselective coupling of 5'-tert-butyldiphenylsilyl-3'-phenylurethane-glycal with nucleobase.

IT 15981-92-7P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for preparation of dideoxydidehydronucleosides via regio- and enantio-selective coupling of heterocyclic bases to glycals)

RN 15981-92-7 CAPLUS
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

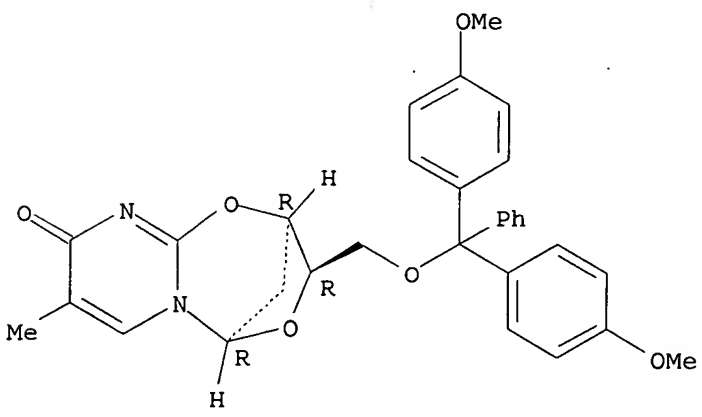
L13 ANSWER 12 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:623850 CAPLUS
DOCUMENT NUMBER: 138:4774
TITLE: Synthesis of p-fluorodithioacids of phosphorus and their synthetic application
AUTHOR(S): Tworowska, Izabela; Dabkowski, Wojciech; Michalski, Jan
CORPORATE SOURCE: Centre of Molecular and Macromolecular Studies, Polish Academy of Sciences, Lodz, 90-363, Pol.
SOURCE: Phosphorus, Sulfur and Silicon and the Related Elements (2002), 177(6-7), 1855-1858
CODEN: PSSLEC; ISSN: 1042-6507
PUBLISHER: Taylor & Francis Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:4774

AB Oligonucleotides containing 3'-S-P(S) and 5'-S-P(S) fragments in the deoxy-series are available only by tedious multistep procedures. We have developed a novel and efficient methodol. based on ring opening of anhydronucleosides by phosphorus dithioacids. This approach allows efficient synthesis of modified dinucleotides of the ribo-series.

IT 191474-13-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of phosphorus containing p-fluorodithioacid oligonucleotides via
ring opening of anhydronucleosides using phosphorus dithioacids as the key step)

RN 191474-13-2 CAPLUS
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 3-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-2,3-dihydro-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

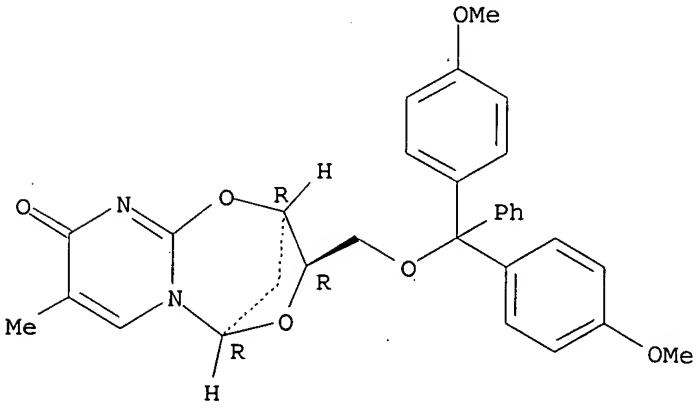
L13 ANSWER 13 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:201242 CAPLUS
DOCUMENT NUMBER: 136:386339
TITLE: Synthesis and labeling of 5'-O-(4,4'-dimethoxytrityl)-2,3'-anhydrothymidine for [18F]FLT preparation
AUTHOR(S): Blocher, A.; Kuntzsch, M.; Wei, R.; Machulla, H.-J.
CORPORATE SOURCE: Sektion Radiopharmazie, PET-Zentrum, Sektion Radiopharmazie, PET-Zentrum, Universitatsklinikum Tubingen, Tubingen, 72076, Germany
SOURCE: Journal of Radioanalytical and Nuclear Chemistry (2002), 251(1), 55-58
CODEN: JRNCDM; ISSN: 0236-5731
PUBLISHER: Kluwer Academic Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:386339

AB For in vivo measurement of DNA synthesis in the patient's tumor 3'-[18F]fluoro-3'-deoxythymidine (FLT) has been shown to be very promising. As a new labeling precursor 5'-O-(4,4'-dimethoxytrityl)-2,3'-anhydrothymidine (DMTThy) was chosen and an organic synthesis was developed including NMR and MS data for characterization. The 18F-labeling of DMTThy can be performed within 30 min in radiochem. yields of almost 20% when using polar solvents such as DMF or DMSO and a temperature of 160°C. Hydrolysis is completed with 1N HCl at 50°C within 10 min without losses.

IT 191474-13-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and labeling of dimethoxytrityl-2,3'-anhydrothymidine for 3'-[18F]fluoro-3'-deoxythymidine (FLT) preparation)

RN 191474-13-2 CAPLUS
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 3-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-2,3-dihydro-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 14 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:675249 CAPLUS
DOCUMENT NUMBER: 136:53989
TITLE: Solid-phase synthesis of oligodeoxynucleotides

containing 3'-S-phosphorothiolate linkages

AUTHOR(S): Fettes, Kevin J.; O'Neil, Ian; Roberts, Stanley M.; Cosstick, Richard

CORPORATE SOURCE: Department of Chemistry, University of Liverpool, Liverpool, L69 7ZD, UK

SOURCE: Nucleosides, Nucleotides & Nucleic Acids (2001), 20(4-7), 1351-1354
CODEN: NNNAFY; ISSN: 1525-7770

PUBLISHER: Marcel Dekker, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:53989

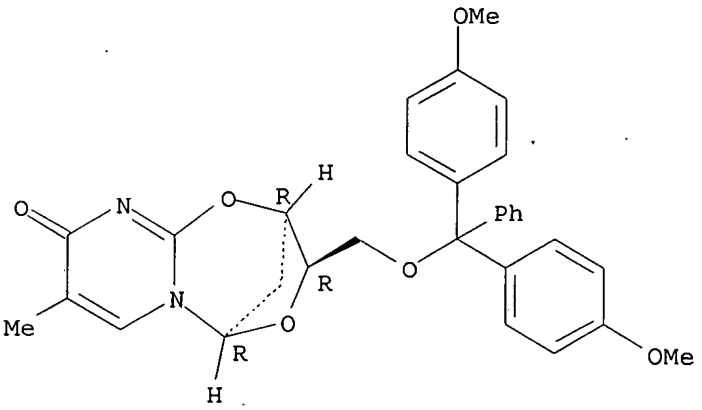
AB For the first time a fully automated procedure has been developed for the incorporation of a 3'-S-phosphorothiolate linkage into DNA, using phosphorothioamidite monomers. Coupling yields with either of the activators 5-ethylthiotetrazole or 4,5-dicyanoimidazole were in the range of 80-90%. Coupling yields were equally good when performed on either a 0.2 or 1 μmole reaction column, thus facilitating large scale synthesis.

IT 191474-13-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(solid-phase synthesis of oligodeoxynucleotides containing phosphorothiolate linkages)

RN 191474-13-2 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 3-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-2,3-dihydro-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 15 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:760250 CAPLUS

DOCUMENT NUMBER: 134:56908

TITLE: Synthesis of 3'-deoxy-3'-[18F]fluoro-thymidine with 2,3'-anhydro-5'-O-(4,4'-dimethoxytrityl)-thymidine

AUTHOR(S): Wodarski, C.; Eisenbarth, J.; Weber, K.; Henze, M.; Haberkorn, U.; Eisenhut, M.

CORPORATE SOURCE: German Cancer Research Center (DKFZ), Heidelberg, 69120, Germany

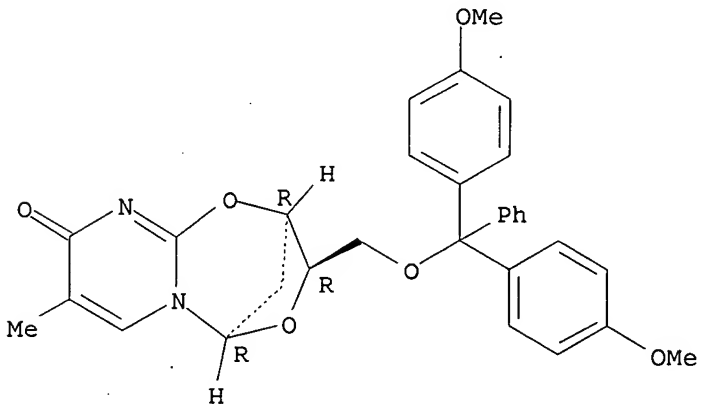
SOURCE: Journal of Labelled Compounds & Radiopharmaceuticals (2000), 43(12), 1211-1218
CODEN: JLCRD4; ISSN: 0362-4803

PUBLISHER: John Wiley & Sons Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:56908
AB [11C]Thymidine has been used as a proliferation marker in positron-emission-tomog. (PET) studies of tumors. This compound showed metabolite related problems and the radiosynthesis proved to be difficult. Recently, the more stable 3'-deoxy-3'-[18F]fluorothymidine ([18F]FLT) has been suggested as an alternative. One advantage of [18F]FLT is based on thymidine kinase-1 catalyzed phosphorylation of FLT and the intracellular accumulation of this metabolite without participation in DNA synthesis. The radiosynthesis of [18F]FLT originally designed by Grierson et al was found to be demanding especially regarding the workup of the [18F]fluoride/1-(2-deoxy-3-O-nosyl-5-O-DMT-β-D-threo-pento-furanosyl)-3-DMBn-thymine reaction mixture Instead, we used 2,3'-anhydro-5'-O-(4,4'-dimethoxytrityl)thymidine as a precursor for the synthesis of [18F]FLT. In DMSO at 175 °C and in presence of Kryptofix 2.2.2. we obtained 5.6±1,4% [18F]FLT (EOS).
IT 191474-13-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3'-deoxy-3'-[18F]fluoro-thymidine for use in positron-emission-tomog.)
RN 191474-13-2 CAPLUS
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 3-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-2,3-dihydro-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 16 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:688631 CAPLUS
DOCUMENT NUMBER: 133:238252
TITLE: Preparation of 3'-azido-2',3'-dideoxythymidine by azidation of 5'-O-aroyl-2',3'-anhydrothymidine and subsequent deprotection
INVENTOR(S): Surzhikov, S. A.; Rumyantseva, N. G.; Kononov, A. V.; Kraevskii, A. A.
PATENT ASSIGNEE(S): S and T Scientific and Technology Inc., Virgin I. (Brit.)
SOURCE: Russ. From: Izobreteniya 1999, (15), 464.
CODEN: RUXXE7
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RU 2130942	C1	19990527	RU 1997-111134	19970711

PRIORITY APPLN. INFO.: RU 1997-111134 19970711

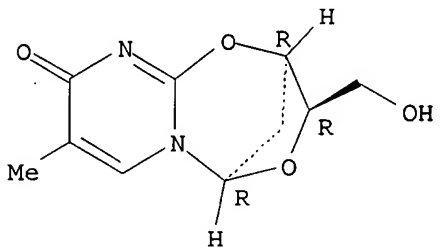
AB Title only translated.

IT 15981-92-7D, 5'-O-aroyl derivs.
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 3'-azido-2',3'-dideoxythymidine by azidation of 5'-O-aroyl-2',3'-anhydrothymidine and subsequent deprotection)

RN 15981-92-7 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 17 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:346657 CAPLUS

DOCUMENT NUMBER: 133:150823

TITLE: Simplified labeling approach for synthesizing 3'-deoxy-3'-[18F]fluorothymidine ([18F]FLT)

AUTHOR(S): Machulla, H.-J.; Blocher, A.; Kuntzsch, M.; Piert, M.; Wei, R.; Grierson, J. R.

CORPORATE SOURCE: Sektion Radiopharmazie, PET-Zentrum, Universitatsklinikum Tubingen, Tubingen, 72076, Germany

SOURCE: Journal of Radioanalytical and Nuclear Chemistry (2000), 243(3), 843-846
CODEN: JRNCDM; ISSN: 0236-5731

PUBLISHER: Kluwer Academic Publishers

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 133:150823

AB [18F]FLT (3'-deoxy-3'-[18F]fluorothymidine) turned out to be a tracer particularly suitable for PET imaging of tumor proliferation because of lacking degradation in vivo. To facilitate clin. studies with [18F]FLT, we investigated two new easily accessible precursors, 2,3'-anhydrothymidine (AThy) and 5'-O-(4,4'-dimethoxytriphenylmethyl)-2,3'-anhydrothymidine (DMTThy), using a common approach for introducing the label with nucleophilic [18F]fluoride. Radiochem. yields were determined in dependence on substrate concentration, reaction time and temperature In the case of AThy (10 mg), best FLT yields were 5.3%±1.2 (130°C, 30 min). Labeling of DMTThy (10 mg) gave 14.3%±3.3 at 160°C within 10 min. Starting with an aqueous solution of 20 GBq [18F]fluoride the new method allows to produce 1.3 GBq [18F]FLT within 90 min ready for i.v. injection. The new labeling procedures allow [18F]FLT synthesis without lengthy preparation of the precursor and with high reproducibility mandatory for clin. application.

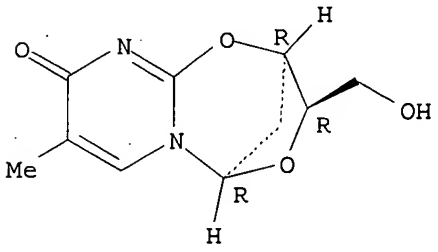
IT 15981-92-7 191474-13-2

RL: RCT (Reactant); RACT (Reactant or reagent)
(simplified labeling approach for synthesizing 3'-deoxy-3'-[18F]fluorothymidine)

RN 15981-92-7 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

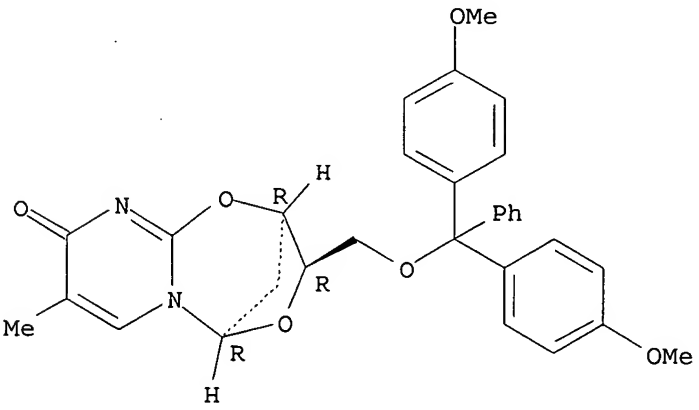
Absolute stereochemistry.



RN 191474-13-2 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 3-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-2,3-dihydro-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 18 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:255976 CAPLUS

DOCUMENT NUMBER: 133:208102

TITLE: Radio-synthesis of 3'-deoxy-3'-[18F]fluorothymidine: [18F]FLT for imaging of cellular proliferation in vivo

AUTHOR(S): Grierson, J. R.; Shields, A. F.

CORPORATE SOURCE: Research Imaging Laboratory, University of Washington Medical Center, Seattle, WA, USA

SOURCE: Nuclear Medicine and Biology (2000), 27(2), 143-156
CODEN: NMBIEO; ISSN: 0969-8051

PUBLISHER: Elsevier Science Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A reliable radio-synthesis of 3'-deoxy-3'-[18F]fluorothymidine ([18F]FLT) has been developed based on [18F]fluoride displacement of a protected nosylate precursor. A simple three-step synthesis is described that is

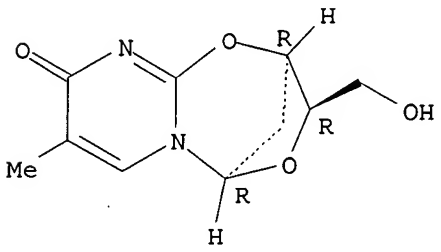
useful for preparing > 10 mCi (370 MBq) of radiochem. pure 18F Ci/μmol (37 GBq/μmol) at EOS within 100 min and in 13% radiochem. yield (end of bombardment, EOB); 7% end of synthesis. [18F]FLT has been designed as a new positron emission tomog. imaging agent for visualizing cellular proliferation in vivo based on the metabolism of thymidine.

IT 15981-92-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(radio-synthesis of 3'-deoxy-3'-[18F]fluorothymidine: [18F]FLT for imaging of cellular proliferation in vivo)

RN 15981-92-7 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 19 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:145237 CAPLUS

DOCUMENT NUMBER: 132:322061

TITLE: Ab Initio Calculations of Spin-Spin Coupling Constants in Anhydrodeoxythymidines

AUTHOR(S): Czernek, Jiri; Lang, Jan; Sklenar, Vladimir

CORPORATE SOURCE: Laboratory of Biomolecular Structure and Dynamics, Masaryk University, Brno, CZ-611 37, Czech Rep.

SOURCE: Journal of Physical Chemistry A (2000), 104(12), 2788-2792
CODEN: JPCAFH; ISSN: 1089-5639

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

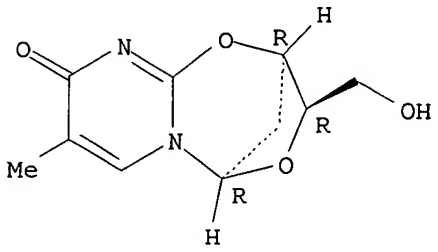
AB For relatively large organic mols. (containing 16 non-hydrogen atoms each), anhydrodeoxythymidines, three- (3JHH) and two-bond (2JHH) 1H-1H and one-bond 1H-13C (1JCH) spin-spin coupling consts. (J-couplings) were determined both exptl. and theor. using NMR spectroscopy and d. functional theory (DFT). A very good agreement between DFT-predicted and measured values was obtained for 3JHH (rmsd = 0.4 Hz). 2JHH and 1JCH were underestimated relative to the experiment For all J-couplings investigated, noncontact contributions were negligible or canceled each other out. In general, the level of agreement between DFT and experiment is very promising.

IT 15981-92-7
RL: PRP (Properties)
(ab initio calcns. of spin-spin coupling consts. in anhydrodeoxythymidines)

RN 15981-92-7 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

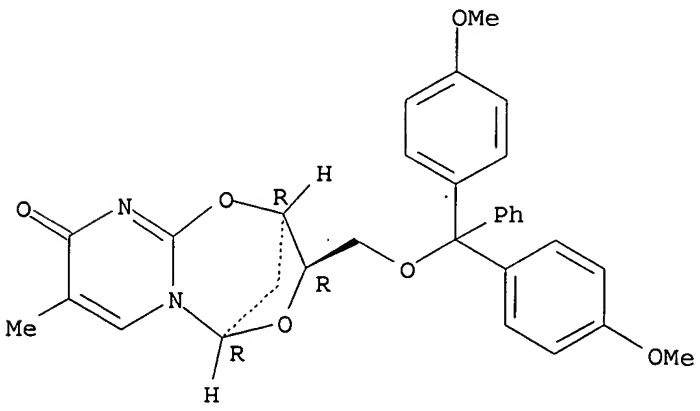
Absolute stereochemistry.



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 20 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:76171 CAPLUS
DOCUMENT NUMBER: 132:265422
TITLE: Synthesis of 3'-S-(2-aminoethylthio)-3'-deoxythymidine 5'-triphosphates
AUTHOR(S): Wojczewski, Christian; Engels, Joachim W.
CORPORATE SOURCE: Institut Organische Chemie, Johann Wolfgang Goethe-Univ., Frankfurt/Main, D-60439, Germany
SOURCE: Synthesis (2000), (1), 149-153
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:265422
AB 3'S-[2-(tert-butoxycarbonylamino)ethylthio]-3'-deoxy-5'-O-(4,4'-dimethoxytrityl)thymidine (I) was synthesized by treating 5'-O-(4,4'-dimethoxytrityl)-2,3'-anhydrothymidine with tert-Bu N-(2-mercaptoethyl)carbamate and DBU. Compound I was further converted to 3'S-[2-(tert-butoxycarbonylamino)ethylthio]-3'-deoxythymidine 5'-triphosphate and 3'S-(2-aminoethylthio)-3'-deoxythymidine 5'-triphosphate. The latter compound was labeled with a near-IR fluorescent dye.
IT 191474-13-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (aminoethylthio)deoxythymidine phosphates)
RN 191474-13-2 CAPLUS
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 3-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-2,3-dihydro-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

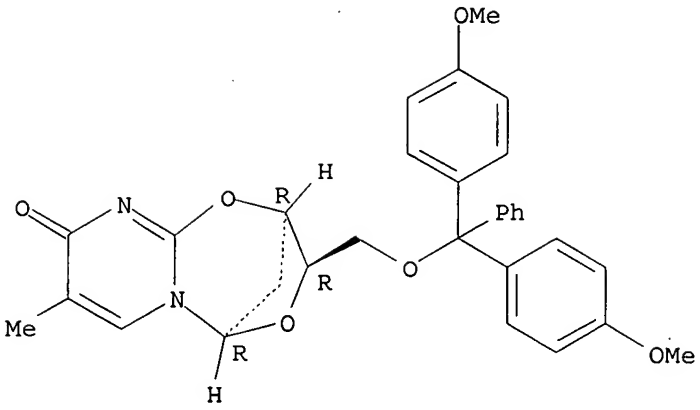
L13 ANSWER 21 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:792653 CAPLUS
DOCUMENT NUMBER: 132:208074
TITLE: 2-(Trimethylsilyl)ethanethiol in Nucleoside Chemistry. A Short Route for Preparing Thionucleosides and Their Methyl Disulfides
AUTHOR(S): Chambert, Stephane; Gautier-Luneau, Isabelle; Fontecave, Marc; Decout, Jean-Luc
CORPORATE SOURCE: Laboratoire de Chimie Bio-organique UMR CNRS 506 Departement de Pharmacochimie Moleculaire UFR de Pharmacie, Universite Joseph Fourier-Grenoble I, La Tronche, F-38706, Fr.
SOURCE: Journal of Organic Chemistry (2000), 65(1), 249-253 CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB We report here a short route for preparing thio-nucleosides and their corresponding Me disulfides using 2-(trimethylsilyl)ethanethiol (β-ethylsilyl thiol, BEST) as a source of sulfur, a method previously developed by Fuchs and co-workers for synthesizing acyl- and alkyl-substituted thiols. These authors have reported that the 2-(trimethylsilyl)ethyl sulfide intermediate did not afford the corresponding thiol by treatment with fluorides. Reaction with dimethyl(methylthio)sulfonium tetrafluoroborate in the presence of Me disulfide gave the corresponding acyl- or alkyl-substituted Me disulfide, which can be reduced with tributylphosphine. Nucleosides in which a 2'-(2-(trimethylsilyl)ethyl)thio group is linked to the sugar were prepared, and their reaction with dimethyl(methylthio)sulfonium tetrafluoroborate led to the corresponding Me disulfide in high yield. On the contrary, we describe here the first example of direct and quant. elimination of this group in 2'-deoxy-8-(2-(trimethylsilyl)ethyl)thioadenosine with tetrabutylammonium fluoride at room temperature for obtaining the corresponding thione on the base. Such a deprotection should be of interest in the preparation of modified oligonucleotides.

IT 191474-13-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(trimethylsilylethanethiol in nucleoside chemical a short route for. preparing
thionucleosides and their Me disulfides)

RN 191474-13-2 CAPLUS
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 3-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-2,3-dihydro-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

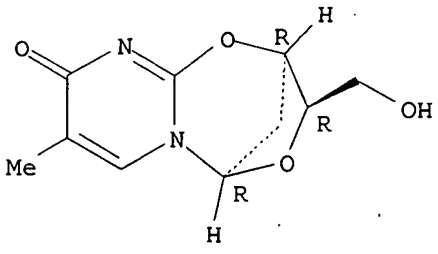
L13 ANSWER 22 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:268783 CAPLUS
DOCUMENT NUMBER: 131:88114
TITLE: Ab Initio Calculations of 1H and 13C Chemical Shifts in Anhydrodeoxythymidines
AUTHOR(S): Czernek, Jiri; Sklenar, Vladimir
CORPORATE SOURCE: Laboratory of Biomolecular Structure and Dynamics, Masaryk University, Brno, CZ-611 37, Czech Rep.
SOURCE: Journal of Physical Chemistry A (1999), 103(20), 4089-4093
CODEN: JPCAFH; ISSN: 1089-5639
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB For relatively large (containing 16 non-hydrogen atoms each) organic mols., anhydrodeoxythymidines, 1H and 13C NMR chemical shifts were predicted using CPHF-GIAO, DFT-GIAO, and SOS-DFPT-IGLO methods. Both ab initio optimized and exptl. derived geometries of studied compds. were investigated. In the majority of cases, good agreement of theor. and exptl. chemical shifts was obtained with an average rmsd for SOS-DFPT-IGLO, DFT-GIAO, and CPHF-GIAO calcns. of 5.5, 5.2, and 6.6 ppm for 13C and 0.233, 0.269, and 0.297 ppm for 1H, resp. The best overall performance was found with the SOS-DFPT-IGLO technique.

IT 15981-92-7
RL: PRP (Properties)
(ab initio calcns. of 1H and 13C chemical shifts in anhydrodeoxythymidines)

RN 15981-92-7 CAPLUS
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



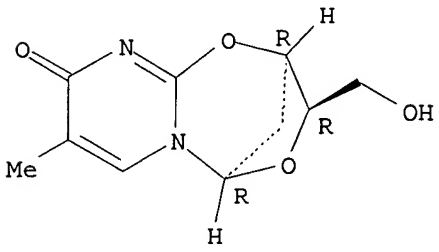
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 23 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:148425 CAPLUS
DOCUMENT NUMBER: 128:205081
TITLE: The reaction of 2'-deoxynucleosides with N-(2-chloro-1,1,2-trifluoroethyl)diethylamine: mechanisms of O2,3'-anhydro-2'-deoxynucleoside and byproduct formation
AUTHOR(S): Sehgal, Raj K.; Turcotte, Joseph G.
CORPORATE SOURCE: Dep. Medicinal Chem., College Pharmacy, Univ. Rhode Island, Kingston, RI, 02881, USA
SOURCE: Journal of Chemical Research, Synopses (1998), (1), 24-25
CODEN: JRPSDC; ISSN: 0308-2342
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Reaction mechanisms consistent with the formation of isopropylidene-like trans-furanose-3',5'-[2-(R)(S)-aminochloro-fluoromethyl-1,3-dioxanyl]-2'-deoxynucleoside intermediates, O2,3'-anhydro-2'-deoxynucleosides and other minor reaction products and the yield-limiting effect of trans-furanose-3',5'-[2-(R)(S)-aminochloro-fluoromethyl-1,3-dioxanyl]-2'-deoxynucleoside on the cyclization of O2,3'-anhydro-2'-deoxynucleosides are proposed.

IT 15981-92-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(reaction of deoxynucleosides with chlorotrifluoroethyldiethylamine)
RN 15981-92-7 CAPLUS
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:357328 CAPLUS
DOCUMENT NUMBER: 127:81728
TITLE: A facile route to 3'-modified oligonucleotides
AUTHOR(S): Shchepinov, Mikhail S.; Stetsenko, Dmitry A.
CORPORATE SOURCE: Dep. of Biochemistry, Oxford University, Oxford, OX1 3QU, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (1997), 7(9), 1181-1184
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal

LANGUAGE: English

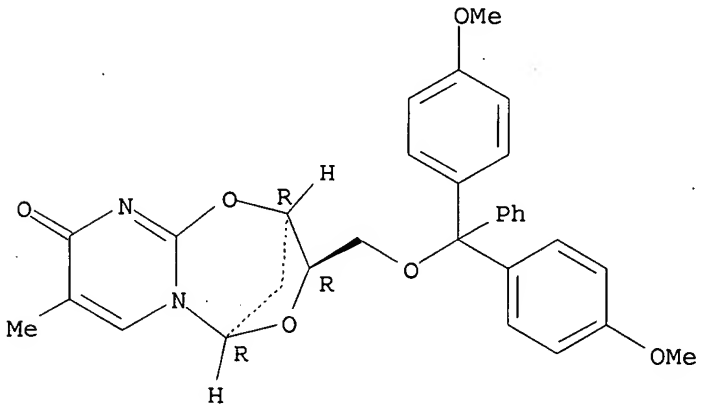
AB We describe an easy method for the solid phase synthesis of 3'-modified oligonucleotides. The general synthetic scheme involves the immobilization of 5'-DMTr-T to CPG via a sulfonate linker, oligonucleotide synthesis and subsequent basic treatment to afford 3'-modified oligonucleotides containing a 2,3'-anhydronucleoside moiety. These compds. can be readily transformed into 3'-substituted oligonucleotides such as 3'-deoxy-3'-azido species.

IT 191474-13-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid phase preparation of 3'-modified oligodeoxyribonucleotides)

RN 191474-13-2 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 3-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-2,3-dihydro-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 25 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:341254 CAPLUS

DOCUMENT NUMBER: 125:108579

TITLE: Effects of modifications in the pentose moiety and conformational changes on the binding of nucleoside ligands to uridine phosphorylase from Toxoplasma gondii

AUTHOR(S): el Kouni, Mahmoud H.; Naguib, Fardos N. M.; Panzica, Raymond P.; Otter, Brian A.; Chu, Shih-Hsi; Gosselin, Gilles; Chu, Chung K.; Schinazi, Raymond F.; Shealy, Y. Fulmer; et al.

CORPORATE SOURCE: Dep. Pharmacol. Toxicol., Univ. Alabama Birmingham, Birmingham, AL, 35294, USA

SOURCE: Biochemical Pharmacology (1996), 51(12), 1687-1700
CODEN: BCPCA6; ISSN: 0006-2952

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB One hundred and fifty analogs of uridine, with various modifications to the uracil and pentose moieties, have been tested and compared with uridine with respect to their potency to bind to uridine phosphorylase (UrdPase, EC 2.4.2.3) from Toxoplasma gondii. The effects of the α- and β-anomers, the L- and D-enantiomers, as well as restricted syn and anti rotamers, on binding were examined Pseudo-, lyxo-, 2,3'-anhydro-2'-deoxy-, 6,5'-cyclo-, 6,3'-methano-, O5',6-methano- and carbocyclic uridines did not bind to the enzyme. Ribosides bound better

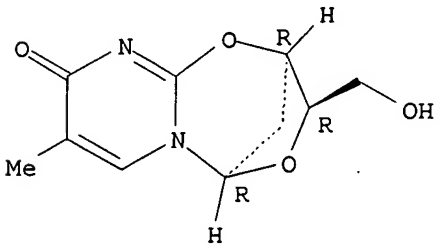
than the corresponding xylosides, which were better than the deoxyribosides. The binding of deoxyribosides was in the following manner: 2',3'-dideoxynucleosides > 2',5'-dideoxynucleosides > 2'-deoxyribosides > 3'- and 5'-deoxyribosides. The α-2'-deoxyribosides bound to the enzyme, albeit less tightly than the corresponding β-anomers. The acyclo- and 2,2'-anhydrouridines bound strongly, with the 2,2'-anhydro-derivs. being the better ligands. 2,5'-Anhydrouridine bound to UrdPase less effectively than 2,2'-anhydrouridine and acylouridine,. Arabinosyluracil was at best a very poor ligand, but bound better if a benzyl group was present at the 5-position of the pyrimidine ring. This binding was enhanced further by adding a 5-benzyloxybenzyl group. A similar enhancement of the binding by increased hydrophobicity at the 5-position of the pyrimidine ring was observed with ribosides, α- and β-anomers of the 2'-deoxyribosides, acyclonucleosides, and 2,2'-anhydronucleosides. Among all the compds. tested, 5-(benzyloxybenzyl)-2,2'-anhydrouridine was identified as the best ligand of T. gondii UrdPase with an apparent Ki value of 60 ± 3 nM. It is concluded that the presence of an N-glycosyl bond is a prerequisite for a nucleoside ligand to bind to T. gondii UrdPase. On the other hand, the presence of a 2'-, 3'-, or 5'-hydroxyl group, or an N-glycosyl bond in the β-configuration, enhanced but was not essential for binding. Furthermore, the potency of the binding of 2,2'-anhydrouridines (fixed high syn isomers), and the complete lack of binding of the 6,5'-cyclo, O5',6-methano- and 6,3'-methanouridines (fixed anti isomers), and the complete lack of binding of the 6,5'-cyclo, O5',6-methano- and 6,3'-methanouridines (fixed anti isomers) to T. gondii UrdPase indicate that the binding of ligands to this enzyme is in the syn/high syn conformation around the N-glycosyl bond. The results also indicate that the parasite but not the mammalian host UrdPase can participate in hydrogen bonding with N3 of the pyrimidine ring of nucleoside ligands. T. gondii UrdPase also has a larger hydrophobic pocket adjacent to the C5 of the pyrimidine moiety than the host enzyme, and can accommodate modifications in the pentose moiety which cannot be tolerated by the host enzyme. Most prominent among these modifications is the absence and/or lack of the ribo orientation of the 3'-hydroxyl group, which is a requirement for a ligand to bind to mammalian UrdPase. These differences between the parasite and host enzymes can be useful in designing specific inhibitors or subversive substrates for T. gondii UrdPase.

IT 15981-92-7
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(effects of modifications in the pentose moiety and conformational changes on the binding of nucleoside ligands to uridine phosphorylase from Toxoplasma gondii)

RN 15981-92-7 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



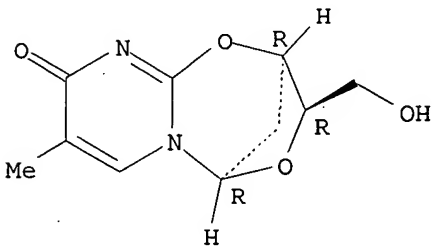
L13 ANSWER 26 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:198524 CAPLUS
DOCUMENT NUMBER: 124:343961
TITLE: An improved synthesis of azidothymidine
AUTHOR(S): Balagopala, Meher I.; Ollapally, Abraham P.; Lee, Henry J.
CORPORATE SOURCE: Dep. of Chemistry, Florida A & M Univ., Tallahassee, FL, 32307, USA
SOURCE: Nucleosides & Nucleotides (1996), 15(4), 899-906
CODEN: NUNUD5; ISSN: 0732-8311
PUBLISHER: Dekker
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:343961

AB A convenient and high yielding procedure is described for a direct conversion of thymidine into 2,3'-anhydrothymidine using the Mitsunobu reaction. AZT has been synthesized from thymidine in two steps, in 62% overall yield, by heating 2,3'-anhydrothymidine with NaN3 in DMF.

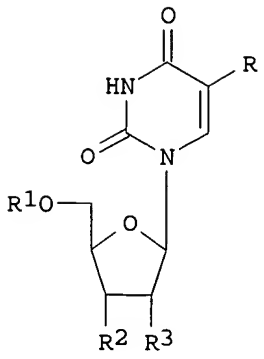
IT 15981-92-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(improved synthesis of azidothymidine from thymidine)

RN 15981-92-7 CAPLUS
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

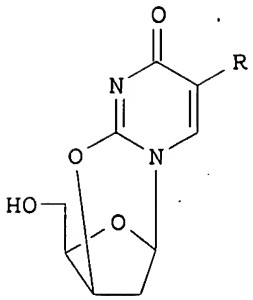
Absolute stereochemistry.



L13 ANSWER 27 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:866644 CAPLUS
DOCUMENT NUMBER: 124:87660
TITLE: Synthesis of 2,3'-anhydro-2'-deoxyuridines and 2',3'-didehydro-2',3'-dideoxyuridines using polymer supported fluoride
AUTHOR(S): Larsen, Erik; Kofoed, Thomas; Pedersen, Erik B.
CORPORATE SOURCE: Dep. Chem., Odense Univ., Odense, DK-5230, Den.
SOURCE: Synthesis (1995), (9), 1121-5
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Thieme
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:87660
GI



I



II

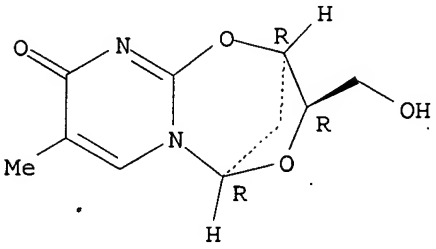
AB Reaction of Me 5-O-tert-butyldiphenylsilyl-2-deoxy-3-O-p-toluenesulfonyl-α,β-D-erythro-pentofuranoside with silylated uracils with CF3SO3SiMe3 as catalyst afforded after crystallization in Et2O the corresponding β-nucleosides I (R = H, Me, Et, F, Br, I; R1 = Me3CPh2SO2; R2 = 4-MeC6H4SO3; R3 = H). Reaction of I with Bu4NF or amberlyst A-26 resin (F--form) in THF at room temperature or at reflux gave the corresponding deprotected compds. I (R1 = H; R2R3 = bond) and II.

IT 15981-92-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of anhydrodeoxyuridines and didehydrodideoxyuridines with polymer supported fluoride)

RN 15981-92-7 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 28 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:703901 CAPLUS

DOCUMENT NUMBER: 124:9261

TITLE: Synthesis, conformation of 3'-(tetrazole-2''-yl)-3'-deoxythymidine and its 5''-derivatives. Substrate properties of 3'-(tetrazole-2''-yl)-3'-deoxythymidine 5'-triphosphate

AUTHOR(S): Ostrovskii, V. A.; Studentsov, E. P.; Poplavskii, V. S.; Ivanova, N. V.; Gurskaya, G. V.; Zavodnik, V. E.; Jasko, M. V.; Semizarov, D. G.; Krayevsky, A. A.

CORPORATE SOURCE: St. Petersburg Technological Institute, St. Petersburg, 198013, Russia

SOURCE: Nucleosides & Nucleotides (1995), 14(6), 1289-300
CODEN: NUNUD5; ISSN: 0732-8311

PUBLISHER: Dekker

DOCUMENT TYPE: Journal

LANGUAGE: English

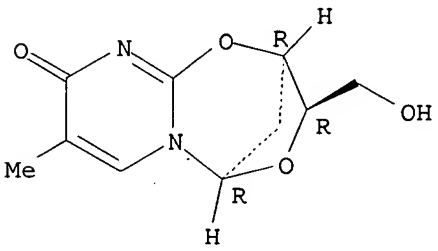
AB 5'-O-Benzoyl-3'-(tetrazole-2''-yl)-3'-deoxythymidine and its 5''-substituted derivs. were obtained by the reaction of 5'-O-benzoyl-2,3'-anhydrothymidine with triethylammonium salts of either tetrazole or 5-substituted tetrazoles. Debenzoylation of these compds. yielded 3'-(tetrazole-2''-yl)-3'-deoxythymidine and its 5''-derivs. Structures of two of them were confirmed by x-ray anal. Both 3'-(tetrazole-2''-yl)-3'-deoxythymidine and 3'-(5''-methyltetrazole-2''-yl)-3'-deoxythymidine have anti-conformation with respect to the glycosidic bond, and 2'-endo-3'-exo-conformation of the sugar residue with gauche orientation relative to the C4'-C5'-bond. 3'-(Tetrazole-2''-yl)-3'-deoxythymidine 5'-triphosphate exhibited poor termination substrate properties towards avian myeloblastosis virus reverse transcriptase and did not serve as a substrate for other employed DNA polymerases.

IT 15981-92-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and conformation of tetrazole deoxythymidine and its triphosphate as substrate of avian myeloblastosis virus reverse transcriptase)

RN 15981-92-7 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 29 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:385075 CAPLUS

DOCUMENT NUMBER: 122:265912

TITLE: Fluorination at C2', C3' and C5' of nucleosides with 1-chloromethyl-4-fluoro-1,4-diazabicyclo[2.2.2]octane bis(tetrafluoroborate) Selectfluor reagent

AUTHOR(S): Lal, G. Sankar

CORPORATE SOURCE: Air Products Chemicals, Inc., Corporate Science Technology Center, Allentown, PA, 18195-1501, USA

SOURCE: Synthetic Communications (1995), 25(5), 725-37
CODEN: SYNCAV; ISSN: 0039-7911

PUBLISHER: Dekker

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 122:265912

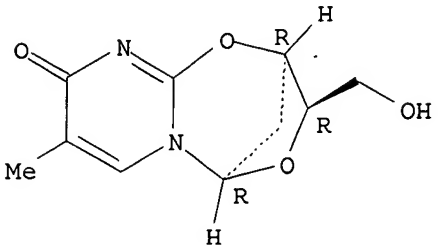
AB Electrophilic fluorination of thioaryl ethers on the sugar component of nucleosides with com. available SELECTFLUOR reagent provides a new method for the synthesis of C2', C3' and C5' fluoronucleosides.

IT 15981-92-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(regio- and stereoselective electrophilic fluorination of nucleosides with chloromethylfluorodiazabicyclooctane bis(tetrafluoroborate))

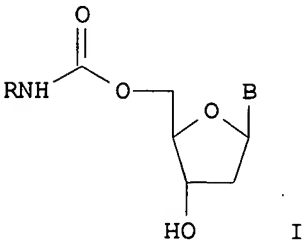
RN 15981-92-7 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

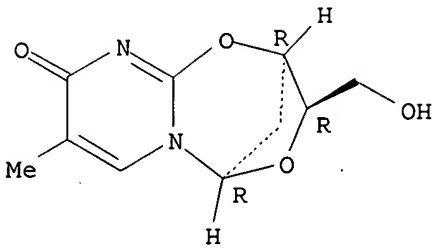


L13 ANSWER 30 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:342089 CAPLUS
DOCUMENT NUMBER: 122:240306
TITLE: Enzymic regioselective alkoxycarbonylation of nucleosides and its utility in nucleoside derivative synthesis
AUTHOR(S): Gotor, Vicente; Moris, Francisco; Garcia-Alles, Luis F.
CORPORATE SOURCE: Facultad de Quimica, Universidad de Oviedo, Oviedo, 33071, Spain
SOURCE: Biocatalysis (1994), 10(1-4), 295-305
CODEN: BIOCED; ISSN: 0886-4454
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:240306
GI



AB The regioselective enzymic alkoxycarbonylation of nucleosides is described for α-, xylo-, anhydro-, and arabino-nucleosides to obtain Cbz-derivs. The utility of these compds. and of the related vinyl carbonates of 2'-deoxynucleosides is shown by the synthesis of 3'-O-acetates of α-and xylo-thymidine and the synthesis of some nucleoside carbamates, e.g. I (R = H, Bn, B = adenine, thymine).
IT 15981-92-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(enzymic regioselective alkoxycarbonylation of nucleosides in preparation of carbonate carbamate derivs.)
RN 15981-92-7 CAPLUS
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.


O
N
O
R
H
OH
R
Me
N
O
R
H

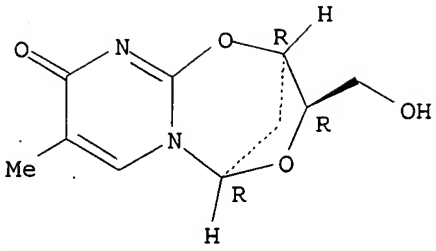
L13 ANSWER 51 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1989:91126 CAPLUS
DOCUMENT NUMBER: 110:91126
TITLE: Inhibition of uridine phosphorylase by pyrimidine nucleoside analogs and consideration of substrate binding to the enzyme based on solution conformation as seen by NMR spectroscopy
AUTHOR(S): Veres, Zsuzsa; Neszmelyi, Andras; Szabolcs, Anna; Denes, Geza
CORPORATE SOURCE: Cent. Res. Inst. Chem., Hung. Acad. Sci., Budapest, H-1525, Hung.
SOURCE: European Journal of Biochemistry (1988), 178(1), 173-81
CODEN: EJBCAI; ISSN: 0014-2956
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Some 3'- and(or) 5'-substituted pyrimidine nucleosides, as well as anhydropyrimidine nucleosides, which have no flexibility about the N-glycosidic bond were studied as inhibitors of thymidine phosphorylase and uridine phosphorylase. The conformation of some analogs was also investigated to obtain information on substrate binding to the enzyme. The above compds., including the potential anti-(human immunodeficiency virus) agent, 3'-azido-2',3'-dideoxy-5-methyluridine were not substrates for either thymidine phosphorylase or uridine phosphorylase. The only exception was arabinofuranosyl-5-ethyluracil, which proved to be a poor substrate for uridine phosphorylase. The phosphorolysis of thymidine by thymidine phosphorylase was slightly or not at all altered by these pyrimidine nucleoside analogs. The lowest Ki was obtained in the case of 3'-azido-2',3'-dideoxy-5-methyluridine and the highest in the case of 2'-deoxylyxofuranosyl-5-ethyluracil, when studying the analogs with flexible structure as inhibitors of uridine phosphorylase. The Ki for 2,3'- and 2,5'-anhydro-2'-deoxy-5-ethyluridine was 5-6 orders of magnitude higher than that for 2,2'-anhydro-5-ethyluridine. Competitive inhibition was observed in all cases. For these three mols. computer-aided mol. modeling predicts the following glycosidic torsion angles χ (O4,-C1,-N1-C2): 109° for 2,2'-anhydro-5-ethyluridine, and 78 and 71° for 2,3'- and 2,5'-anhydro-2'-deoxy-5-ethyluridine resp. These values are corroborated by high-resolution 13C and 1H NMR studies. 2'-Deoxy-5-ethyluridine is predicted to have a syn conformation with χ = 46° and ΔE .apprx.2.5 kJ/mol over the min. energy (in anti position, χ = -147°). 1H and 13C data, including homonuclear Overhauser enhancements, complete the information about the solution conformation. Considering the Ki values obtained, it is likely that substrates of uridine phosphorylase will bind to the enzyme in the same conformation as 2,2'-anhydro-5-ethyluridine. The >30° deviation from the N-glycosidic torsion angle of 2,2'-anhydro-5-ethyluridine results in much higher Ki values.

IT 15981-92-7
RL: PROC (Process)
(conversion of, to azidodideoxymethyluridine)

RN 15981-92-7 CAPLUS
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

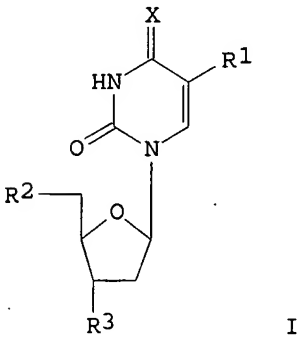
Absolute stereochemistry.



L13 ANSWER 52 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1988:611404 CAPLUS
DOCUMENT NUMBER: 109:211404
TITLE: Preparation of 1-(3-azido-2,3-dideoxy-erythro-pentofuranosyl)pyrimidines via anhydro intermediates
INVENTOR(S): Hayauchi, Yutaka; Lockhoff, Oswald
PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 13 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3705794	A1	19880901	DE 1987-3705794	19870224
EP 280128	A2	19880831	EP 1988-102069	19880212
R: DE, FR, GB, IT				
JP 63222194	A2	19880916	JP 1988-34120	19880218

PRIORITY APPLN. INFO.: DE 1987-3705794 A 19870224
OTHER SOURCE(S): CASREACT 109:211404; MARPAT 109:211404
GI

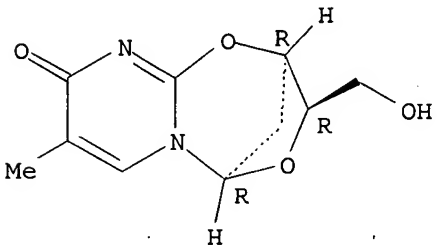


I

AB The title compds (I; R1 = H, Me, Et, halo; R2 = OH, R3 = N3; X = O, NH, NAc, NBz) (II), useful as virucides (no data), were prepared 5'-O-tert-Butyldimethylsilyl-3'-O-methylsulfonylthymidine (preparation given) in acetone/H2O was refluxed 5 d with KF to give 2,3'-anhydro-1-(2-deoxy-β-D-threo-pentofuranosyl) thymine. The latter was heated at 140° in DMF with NaN3 to give 3'-azido-3'-deoxythymidine.
IT 15981-92-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as virucide intermediate)
RN 15981-92-7 CAPLUS
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one,

2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



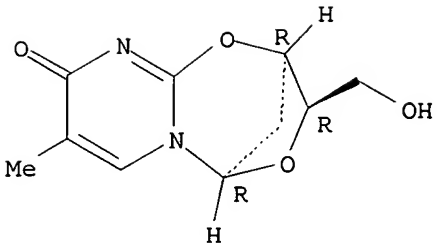
L13 ANSWER 53 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1988:38269 CAPLUS
DOCUMENT NUMBER: 108:38269
TITLE: Synthesis and study of the dinucleoside phosphates containing arabino- and deoxyxylonucleosides
AUTHOR(S): Sokolova, N. I.; Krynetskaya, N. F.; Suchanova, L. L.; Dolinnaya, N. G.; Shabarova, Z. A.
CORPORATE SOURCE: Chem. Dep., M. V. Lomonosov State Univ., Moscow, USSR
SOURCE: Bioorganicheskaya Khimiya (1987), 13(3), 379-85
CODEN: BIKHD7; ISSN: 0132-3423
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Two isomeric pairs of dinucleoside phosphates containing deoxyxylosylthymine and arabinosyluracil e.g. I-IV were synthesized. Conversion of configuration at C2' or C3' in 5'-terminal sugar moieties of the dinucleoside phosphates resulting either in complete distortion of stacking or in opposite handedness of the helix was confirmed by CD. A similar modification in 3'-terminal sugar moieties did not significantly affect the chain geometry. Kinetics of hydrolysis of the modified dinucleoside phosphates catalyzed by venom phosphodiesterase using HPLC confirmed that the Km values were similar for all compds. as well as for d(Tpa). The maximum hydrolysis rate is decreased for the modified compds., depending on the position and the nature of the modified nucleoside.

IT 15981-92-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 15981-92-7 CAPLUS
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 54 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1987:452002 CAPLUS
DOCUMENT NUMBER: 107:52002
TITLE: Therapeutic nucleosides
INVENTOR(S): Rideout, Janet Litster; Barry, David Walter; Lehrman, Sandra Nusinoff; St. Clair, Martha Heider; Furman, Phillip Allen; Beacham, Lowrie Miller, III; LeBlanc, Harry Sidney; Freeman, George Andrew
PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK
SOURCE: Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 199451	A2	19861029	EP 1986-301896	19860314
EP 199451	A3	19900905		
EP 199451	B1	19960306		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
DK 8601182	A	19860917	DK 1986-1182	19860314
DK 166805	B1	19930719		
AU 8654757	A1	19860918	AU 1986-54757	19860314
AU 578809	B2	19881103		
ZA 8601933	A	19871028	ZA 1986-1933	19860314
ZA 8601934	A	19871028	ZA 1986-1934	19860314
EP 291633	A1	19881123	EP 1988-101790	19860314
EP 291633	B1	19921104		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
EP 306597	A2	19890315	EP 1988-101795	19860314
EP 306597	A3	19920819		
EP 306597	B1	19941102		
EP 306597	B2	20021016		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 44464	E	19890715	AT 1986-301897	19860314
HU 201678	B	19901228	HU 1989-833	19860314
IL 85095	A1	19910512	IL 1986-85095	19860314
CA 1285935	A1	19910709	CA 1986-504095	19860314
AT 81978	E	19921115	AT 1988-101790	19860314
IL 85096	A1	19940227	IL 1986-85096	19860314
AT 135011	E	19960315	AT 1986-301896	19860314
JP 61257926	A2	19861115	JP 1986-59073	19860317
JP 07023314	B4	19950315		
US 4780453	A	19881025	US 1986-945234	19861223
AT 8700889	A	19901115	AT 1987-889	19870409
AT 392794	B	19910610		
CA 1303032	A2	19920609	CA 1988-556981	19880120
ES 557834	A1	19880616	ES 1988-557834	19880429
ES 557834	A5	19880715		

ES 557835	A1	19880901	ES 1988-557835	19880429
ES 557835	A5	19880930		
ES 557836	A1	19880901	ES 1988-557836	19880429
ES 557836	A5	19880930		
US 4874751	A	19891017	US 1988-279324	19881202
CA 1340519	A1	19990427	CA 1992-616284	19920113
US 5885957	A	19990323	US 1997-882888	19970626

PRIORITY APPLN. INFO.:

GB 1985-6868	A	19850316
GB 1985-6869	A	19850316
GB 1985-11774	A	19850509
GB 1985-11775	A	19850509
GB 1985-23881	A	19850927
US 1985-776899	A	19850917
US 1985-776900	A1	19850917
US 1985-776901	A1	19850917
GB 1986-3450	A	19860212
AT 1986-683	A	19860314
CA 1986-504126	A3	19860314
EP 1986-301897	P	19860314
EP 1988-101790	A	19860314
IL 1986-78158	A	19860314
US 1986-839795	B1	19860314
CA 1988-556981	A3	19880120
US 1988-188735	B1	19880429
US 1991-670499	B1	19910315
US 1991-792812	B1	19911115
US 1993-540593	A1	19930226

OTHER SOURCE(S): MARPAT 107:52002

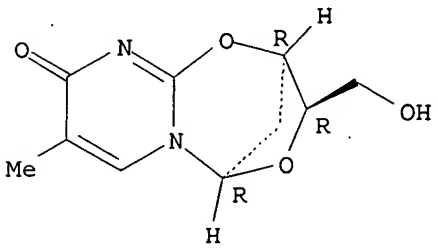
AB 3'-Azido-3'-deoxythimidine, its threo-3'-azido isomer and some of their derivs. are active against human and animal retroviruses, such as HTLV-1, HTLV-II and feline leukemia virus, as well as gram-neg. bacterial infections. The preparation of these nucleosides is given. Thus, a mixture of thymidine, N-(2-chloro-1,1,2-trifluoroethyl)diethylamine and DMF was heated at 70° for 30 min to give 2,3'-O-anhydrothymidine, which upon refluxing for 5 h with NaN3 in aqueous DMF gave 3'-azido-3'-deoxythymidine (I). I.v. administration of 30 mg I/kg/day for 6 wk to cats with feline leukemia decreased by 10-90% the feline leukemia virus level in the leukocytes. Formation examples are given.

IT 15981-92-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with sodium azide)

RN 15981-92-7 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 55 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1987:38480 CAPLUS

DOCUMENT NUMBER: 106:38480
TITLE: Virucidal 3'-azido-3'-deoxythymidine
INVENTOR(S): Rideout, Janet Lister; Barry, David Walter; Lehrman, Sandra Nusinoff; St. Clair, Martha Heider; Furman, Phillip Allen; Freeman, George Andrew
PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK
SOURCE: Ger. Offen., 50 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3608606	A1	19860918	DE 1986-3608606	19860314
US 4724232	A	19880209	US 1985-776899	19850917
DK 8601180	A	19860917	DK 1986-1180	19860314
DK 164392	B	19920622		
DK 164392	C	19921109		
FI 8601069	A	19860917	FI 1986-1069	19860314
FI 85978	B	19920313		
FI 85978	C	19920625		
AU 8654758	A1	19860918	AU 1986-54758	19860314
AU 572019	B2	19880428		
EP 196185	A2	19861001	EP 1986-301897	19860314
EP 196185	A3	19880113		
EP 196185	B1	19890712		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
HU 40570	A2	19870128	HU 1986-1079	19860314
HU 197209	B	19890328		
ZA 8601933	A	19871028	ZA 1986-1933	19860314
ES 553013	A1	19871101	ES 1986-553013	19860314
IL 78158	A1	19880429	IL 1986-78158	19860314
CA 1238277	A1	19880621	CA 1986-504126	19860314
EP 291633	A1	19881123	EP 1988-101790	19860314
EP 291633	B1	19921104		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
EP 306597	A2	19890315	EP 1988-101795	19860314
EP 306597	A3	19920819		
EP 306597	B1	19941102		
EP 306597	B2	20021016		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 44464	E	19890715	AT 1986-301897	19860314
AT 8600683	A	19890815	AT 1986-683	19860314
AT 390000	B	19900226		
HU 199501	B	19900228	HU 1987-4087	19860314
HU 201678	B	19901228	HU 1989-833	19860314
IL 85095	A1	19910512	IL 1986-85095	19860314
AT 81978	E	19921115	AT 1988-101790	19860314
IL 85096	A1	19940227	IL 1986-85096	19860314
JP 61257925	A2	19861115	JP 1986-59072	19860317
JP 04007726	B4	19920212		
DD 251984	A5	19871202	DD 1986-294404	19860915
ZA 8607013	A	19880427	ZA 1986-7013	19860915
DD 262802	A5	19881214	DD 1986-309343	19860915
IL 93223	A1	19920216	IL 1986-93223	19860915
ES 557209	A1	19880716	ES 1986-557209	19861118
ES 557209	A5	19880816		
US 4780453	A	19881025	US 1986-945234	19861223
AT 8700889	A	19901115	AT 1987-889	19870409
AT 392794	B	19910610		
US 4818750	A	19890404	US 1987-110968	19871020

US 4833130	A	19890523	US 1987-110946		19871020
US 4837208	A	19890606	US 1987-110377		19871020
US 4847244	A	19890711	US 1987-110947		19871020
US 4818538	A	19890404	US 1987-111205		19871021
US 4828838	A	19890509	US 1987-111208		19871021
CA 1303032	A2	19920609	CA 1988-556981		19880120
US 4857511	A	19890815	US 1988-152977		19880208
US 4874609	A	19891017	US 1988-153258		19880208
AU 8812159	A1	19880623	AU 1988-12159		19880224
AU 587739	B2	19890824			
AU 574620	B1	19880707	AU 1988-12158		19880224
JP 63290895	A2	19881128	JP 1988-73488		19880329
JP 07080898	B4	19950830			
ES 557834	A1	19880616	ES 1988-557834		19880429
ES 557834	A5	19880715			
ES 557835	A1	19880901	ES 1988-557835		19880429
ES 557835	A5	19880930			
ES 557836	A1	19880901	ES 1988-557836		19880429
ES 557836	A5	19880930			
US 5093114	A	19920303	US 1990-622396		19901130
DK 9102026	A	19911218	DK 1991-2026		19911218
DK 175122	B1	20040607			
DK 9102027	A	19911218	DK 1991-2027		19911218
DK 175192	B1	20040705			
CA 1340519	A1	19990427	CA 1992-616284		19920113
US 5885957	A	19990323	US 1997-882888		19970626

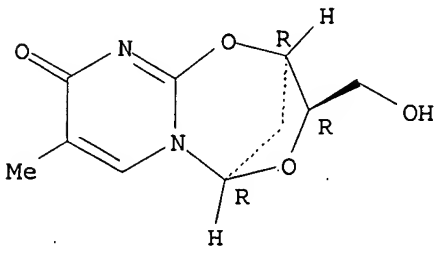
PRIORITY APPLN. INFO.:

GB 1985-6869	A	19850316
GB 1985-11774	A	19850509
US 1985-776899	A	19850917
GB 1985-23881	A	19850927
GB 1986-3450	A	19860212
US 1985-776900	A1	19850917
GB 1985-23878	A	19850927
GB 1986-3447	A	19860212
GB 1986-3719	A	19860214
AT 1986-683	A	19860314
CA 1986-504126	A3	19860314
EP 1986-301897	P	19860314
EP 1988-101790	A	19860314
IL 1986-78158	A	19860314
US 1986-839795	B1	19860314
GB 1986-8272	A	19860404
GB 1986-15322	A	19860623
US 1986-877796	A	19860623
IL 1986-80035	A	19860915
CA 1988-556981	A3	19880120
US 1988-153258	A1	19880208
US 1988-188735	B1	19880429
US 1989-407579	B1	19890915
US 1991-670499	B1	19910315
US 1991-792812	B1	19911115
US 1993-540593	A1	19930226

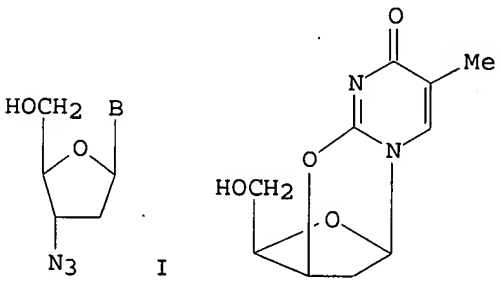
AB 3'-Azido-3'-deoxythymidine (I) and their derivs., such as the 5'-diphosphate, 5'-(3-methylbutyrate)-, 5'-octanoate, 5'-palmitate, and 5'-pivalate are prepared as agents active against human retroviruses. The compds. can be used for the treatment of AIDS, generalized lymphadenopathy, and similar diseases. Thus, a mixture of 2,3'-O-anhydrothymidine, NaN3, DMF, and water was refluxed for 5 h, to give I. Addition of 1 mg I/mL to the drinking water of mice inoculated with the RVB3 strain of the Rauscher leukemia virus, suppressed the infection of the spleen cells and the development of splenomegalia. A tablet was formulated containing I 250, lactose 210, Povidon 15, Na starch glycolate 20, and Mg stearate 5 mg.

IT 15981-92-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with sodium azide)
RN 15981-92-7 CAPLUS
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



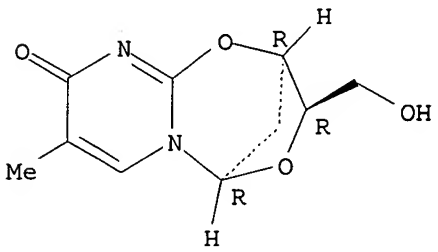
L13 ANSWER 56 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1984:592378 CAPLUS
DOCUMENT NUMBER: 101:192378
TITLE: Aminonucleosides and their derivatives. XI. Synthesis of 3'-amino-2', 3'-dideoxynucleoside 5'-triphosphates
AUTHOR(S): Zaitseva, V. E.; Dyatkina, N. B.; Kraevskii, A. A.; Skaptsova, N. V.; Turina, O. V.; Gnuchev, N. V.; Gottikh, B. P.; Azhaev, A. V.
CORPORATE SOURCE: Inst. Mol. Biol., Moscow, USSR
SOURCE: Bioorganicheskaya Khimiya (1984), 10(5), 670-80
CODEN: BIKHD7; ISSN: 0132-3423
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI



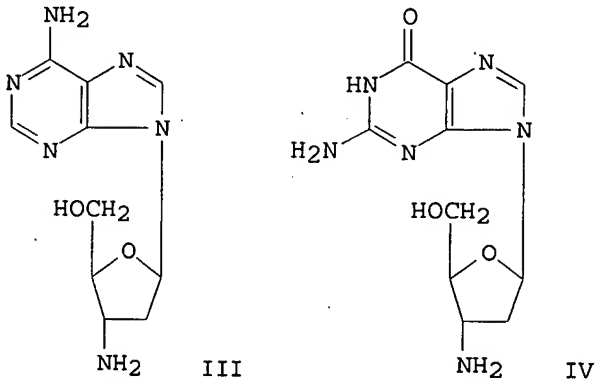
AB 3'-Azido-2',3'-dideoxynucleosides I (B = thymine, adenine, guanine) were prepared by modifications of conventional methods. I (B = cytosine, uracil) were prepared from 2'-deoxycytidine and 2'-deoxyuridine, resp., via ring opening of 3',O2-anhydro derivs., e.g. II, with LiN3. I were converted to their 5'-monophosphates and triphosphates and the latter were reduced to the corresponding 3'-amino-2,3-dideoxynucleoside 5'-triphosphates which are effective inhibitors of DNA synthesis catalyzed by DNA polymerases (no data).
IT 15981-92-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation, azidation, and ring cleavage of)
RN 15981-92-7 CAPLUS
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-,. (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 57 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1978:475431 CAPLUS
DOCUMENT NUMBER: 89:75431
TITLE: Synthesis of 3'-azido-2',3'-dideoxyribofuranosylpurines
AUTHOR(S): Imazawa, M.; Eckstein, F.
CORPORATE SOURCE: Abt. Chem., Max-Planck-Inst. Exp. Med., Goettingen, Fed. Rep. Ger.
SOURCE: Journal of Organic Chemistry (1978), 43(15), 3044-8
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

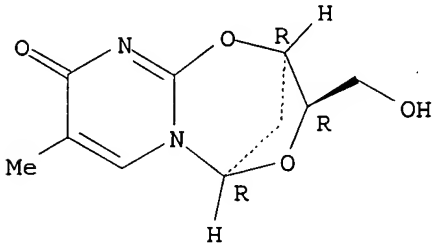


III IV

AB Transglycosylation of 3'-azido-3'-deoxy-5'-O-acetylthymidine, which is readily available from thymidine, with silylated N6-octanoyladenine using CF3SO3SiMe3 as a catalyst gave a mixture of α and β (I) anomers of 3'-azido-2',3'-dideoxyadenosine, which is separable on a silica gel column. Replacement of silylated N6-octanoyladenine by silylated N2-palmitoylguanine gave a mixture from which α and β (II) anomers of 9-(3-azido-2,3-dideoxy-D-ribofuranosyl)guanine was isolated. The N-7 isomers also are obtained, but could not be separated Treatment of I and II with Ph3P and subsequent hydrolysis gave aminodideoxy nucleosides III and IV. A further simplification of this transglycosylation and its applicability to preparation of ribonucleosides are demonstrated.

IT 15981-92-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(azidolysis of)
RN 15981-92-7 CAPLUS
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

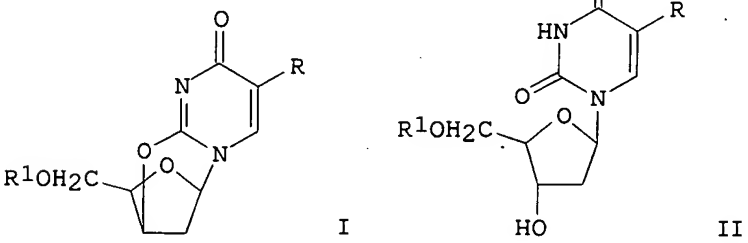
Absolute stereochemistry.



L13 ANSWER 58 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1978:121666 CAPLUS
DOCUMENT NUMBER: 88:121666
TITLE: O2,3'-Cyclopyrimidine nucleosides
INVENTOR(S): Shibuya, Susumu; Kuninaka, Akira; Yoshino, Hiroshi
PATENT ASSIGNEE(S): Yamasa Shoyu Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52122398	A2	19771014	JP 1976-37216	19760405
JP 59014040	B4	19840402		

PRIORITY APPLN. INFO.: JP 1976-37216 A 19760405
GI

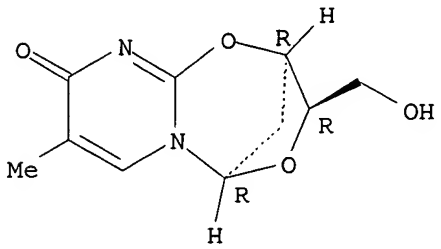


I II

AB Title compds. I (R, R1 = H, H; Me, H; F, H) were prepared by treatment of II with Ph3P or Bu3P and EtO2CN:NCO2Et (III) or PhO2CN:NCO2Ph. Thus, 3 mL III in THF was added to a mixture of 2 g II (R = R1 = H) and 4.6 g Ph3P in THF over 10 min and the whole stirred 4 h at room temperature, 2 mL H2O added, and the whole heated 20 min at 100° to give 70% I (R = R1 = H).
IT 15981-92-7P
RL: SPN (Synthetic preparation); PREP (Preparation)

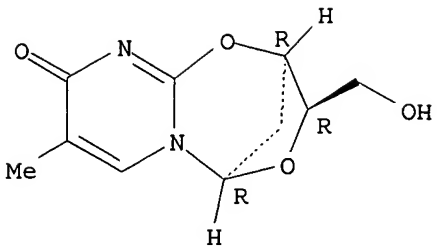
(preparation of)
RN 15981-92-7 CAPLUS
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 59 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1974:15137 CAPLUS
DOCUMENT NUMBER: 80:15137
TITLE: Nucleotide synthesis. IV. Phosphorylated 3'-amino-3'-deoxythymidine and 5'-amino-5'-deoxythymidine and derivatives
AUTHOR(S): Glinski, Ronald P.; Khan, M. Sami; Kalamas, Richard L.; Sporn, Michael B.
CORPORATE SOURCE: Ash Stevens Inc., Detroit, MI, USA
SOURCE: Journal of Organic Chemistry (1973), 38(25), 4299-305
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 3'-Amino-3'-deoxythymidine 5'-phosphate (I) and 5'-amino-5'-deoxythymidine 3'-phosphate (II) were prepared I and II were prepared via 3'-azido-3'deoxythymidine (III) and 5'-azido-5'-deoxythymidine (IV) obtained by different multistep pathways. Phosphorylation of III and IV, followed by removal of the protecting groups, gave nucleotides, which contained azide groups in the 3' and 5' positions, resp. Catalytic reduction of the azide groups gave I and II in good yield. I and II formed crystalline inner salts, which facilitated purification and characterization. In addition, I was converted into 3'-chloroacetamido-, 3'-N-(O-ethylcarbamoyl)-, and 3'-heptafluorobutyramido-3'-deoxythymidine 5'-phosphates and II was converted into 5'-acetamido-, 5'-chloroacetamido-, and 5'-N-(O-ethylcarbamoyl)-5'-deoxythymidine 3'-phosphates; these derivs. were candidate active-site-directed inhibitors of a nuclear exoribonuclease isolated from nuclei of mammalian cells.
IT 15981-92-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 15981-92-7 CAPLUS
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

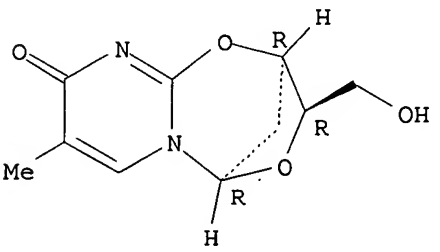


L13 ANSWER 60 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1971:530085 CAPLUS
DOCUMENT NUMBER: 75:130085
TITLE: 2'-Deoxy-O2,3'-cyclonucleosides
INVENTOR(S): Kowollik, Gotthard; Gaertner, Klaus; Langen, Peter
SOURCE: Ger. (East), 4 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 77233		19701020	DD	19690602

AB Heating 1 mmole of a nucleoside (I) in 2.5 mmole Me2CO with 2-4 mmole Et2NCF2CHFCl (II) 10-30 min at 40-80°, cooling, addition of CaCO3, concentration in vacuo at 70° and treatment with H2O (CO2 evolved) gave a crude O2,3'-cyclonucleoside (III). Data for various III (I, mmole II, reaction time, and III yield given): 2'-deoxyuridine, 4, 5 min, 71%; 2'-deoxy-5-fluorouridine, 4, 30 min, 48%; thymidine, 2, 30 min, 76%; 5'-deoxy-5-chlorothymidine, 2, 30 min, 87%; 5'-O-tosylthymidine, 2, 30 min, 100%. Other aprotic solvents, e.g., DMF, may be used.
IT 15981-92-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 15981-92-7 CAPLUS
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

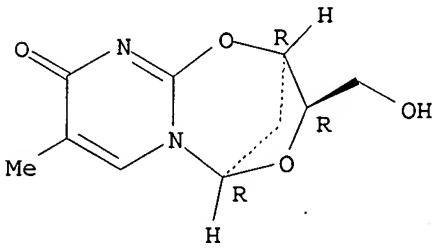
Absolute stereochemistry.



L13 ANSWER 61 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1971:477193 CAPLUS
DOCUMENT NUMBER: 75:77193
TITLE: Nucleosides of fluoro sugars. VI. Synthesis and reactivity of 3'-fluoro- and 3'-chloro-3'-deoxythymidine

AUTHOR(S): Etzold, G.; Hintsche, R.; Kowollik, G.; Langen, P.
CORPORATE SOURCE: Inst. Biochem., Dtsch. Akad. Wiss. Berlin, Berlin-Buch, Ger. Dem. Rep.
SOURCE: Tetrahedron (1971), 27(12), 2463-72
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB 2,3'-Anhydro-1-(2-deoxy-β-D-threo-pentofuranosyl)thymine (I) reacts with HF or HCl to give cytostatic 3'-fluoro- or 3'-chloro-3-deoxythymidine (II or III). The formation of II is catalyzed by AlF3. 3'-O-Mesylthymidine reacts with fluorides to form I and in part finally II, but not 1-(2,3-dideoxy-3-fluoro-β-D-xylofuranosyl)thymine. The configuration at C-3' of II and III is established by recyclization to I. In alkaline medium, II and III are easily converted into 2',3'-didehydro-3'-deoxythymidine. II and III show a pos. Cotton effect at 270 nm. The acid resistance increases increases in the order 1-(2-deoxy-β-D-xylofuranosyl)thymine, thymidine, II and III.
IT 15981-92-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 15981-92-7 CAPLUS
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 62 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1970:79401 CAPLUS
DOCUMENT NUMBER: 72:79401
TITLE: Synthesis of some nucleotides derived from 3'-deoxythymidine
AUTHOR(S): Russell, Alan F.; Moffatt, J. G.
CORPORATE SOURCE: Inst. of Mol. Biol., Palo Alto, CA, USA
SOURCE: Biochemistry (1969), 8(12), 4889-96
CODEN: BICHAW; ISSN: 0006-2960
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Phosphorylation of 3'-deoxy-3'-iodothymidine gives the corresponding 3'-deoxy-3'-iodothymidine 5'-phosphate in high yield. Activation of the phosphate group can be achieved by formation of the phosphoromorpholidate under anhydrous conditions, and subsequent condensation with tributylammonium pyrophosphate in anhydrous dimethyl sulfoxide gives 3'-deoxy-3'-iodothymidine 5'-triphosphate in modest yield. The latter reaction is complicated by simultaneous dehydrohalogenation giving the related 2',3'-unsatd. nucleoside 5'-triphosphate and by extensive intramol. displacement of iodide ion by phosphate giving a3',5'-cyclic phosphate with the 2-deoxy-β-D-threo-pentofuranosyl configuration. The same spectrum of products is obtained using 3'-deoxy-3'-iodothymidine 5'-phosphoroimid-azolate prepared from the parent nucleoside and

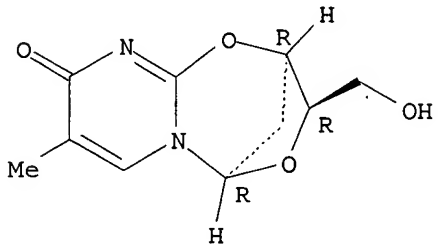
triimidazolephos-phine oxide. The various products are characterized by enzymic and spectroscopic techniques, and reduction of either the iodotriphosphate or the unsatd. triphosphate with H and Pd gives 3'-deoxythymidine 5'-triphosphate. Phosphorylation of 1-(2-deoxy-β-D-threo-pentofuranosyl)thymine with diphenyl phosphorochloridate gives the crystalline 5'-diphenyl phosphate ester that can be converted with base into the same 3',5'-cyclic phosphate obtained as a by-product during preparation of the triphosphates above. A pair of 3',5'-cyclic phosphate triester diastereoisomeric about their phosphorus atoms are intermediates in this cyclization reaction.

IT 15981-92-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 15981-92-7 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 63 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1970:67221 CAPLUS

DOCUMENT NUMBER: 72:67221

TITLE: Direct preparation of O2,3'-cyclo-2'-deoxynucleosides

AUTHOR(S): Kowollik, Gotthard; Gaertner, K.; Langen, Peter

CORPORATE SOURCE: Deut. Akad. Wiss. Berlin, Berlin-Buch, Fed. Rep. Ger.

SOURCE: Tetrahedron Letters (1969), (44), 3863-5
CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: German

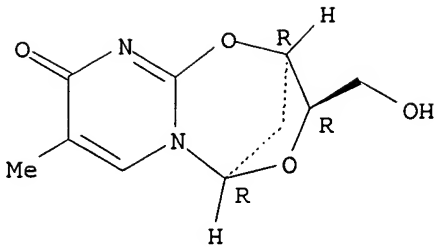
AB Thymidine, 2'-deoxyuridine, or 5-fluoro-2'-deoxyuridine heated with 2-4 molar equivs. ClCHFCF2NEt2 (I) in Me2CO or HCONMe2 briefly at 50-70° and the product crystallized from aqueous alc. yielded the corresponding O2,3'-cyclo-2'-deoxy nucleosides, m. 241-3°, 201-5°, and 197-9° in 75, 71, and 48% yields, resp. Cyclization of 2'-deoxycytidine was similarly carried out but the product decomposed on column chromatographic separation procedures. Similar cyclization of 5'-deoxy-5'-chlorothymidine and 5'-O-tosylthymidi ne yielded the corresponding O2,3'-cyclonucleoside, m. 179.5-81.0°, 173-80° (decomposition) in 87 and 100% yields. No reaction was observed with uridine.

IT 15981-92-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 15981-92-7 CAPLUS

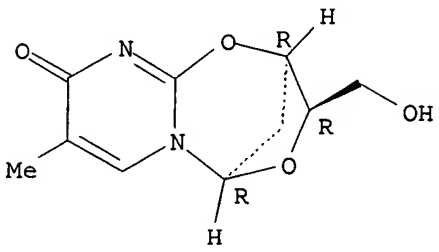
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 64 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1968:105488 CAPLUS
DOCUMENT NUMBER: 68:105488
TITLE: Nucleosides. L. Synthesis of 2,3'-imino-1-(2-deoxy-β-D-threo-pentofuranosyl)thymine and related derivatives
AUTHOR(S): Doerr, Iris L.; Cushley, Robert J.; Fox, Jack J.
CORPORATE SOURCE: Div. of Cornell Univ. Med. Coll., Sloan-Kettering Inst. for Cancer Res., New York, NY, USA
SOURCE: Journal of Organic Chemistry (1968), 33(4), 1592-9
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 68:105488
GI For diagram(s), see printed CA Issue.
AB Reaction of 5'-deoxy-5'-iodo-3'-O-methylsulfonylthymidine with AgOAc in MeOH afforded the 2,5'-anhydro derivative of 3'-O-methylsulfonylthymidine (I) in good yield which, by treatment with liquid NH3 gave 2,3'-imino-1-(2-deoxy-β-D-threo-pentofuranosyl)thymine (II). II was also prepared from the 2-O-Me derivative (III). Reaction of I with MeNH2, HONH2, and H2NNH2 yielded the corresponding cyclic N-methyl, N-hydroxy, and N-amino derivs. In the above reactions of I or III with amines the 2,3'-imino derivs. formed via an isocytosine intermediate. The reactions and ultraviolet, pKa, and N.M.R. data of the 2,3'-imino derivs. are reported and discussed. 29 references.
IT 15981-92-7
RL: PRP (Properties)
(nuclear magnetic resonance of)
RN 15981-92-7 CAPLUS
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

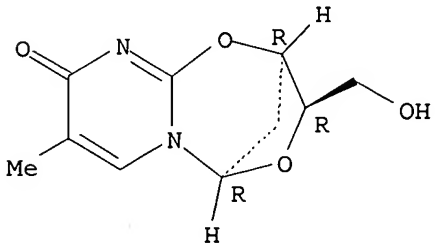
Absolute stereochemistry.



L13 ANSWER 65 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1963:66738 CAPLUS
DOCUMENT NUMBER: 58:66738

ORIGINAL REFERENCE NO.: 58:11457a-c
TITLE: Pyrimidine nucleosides. XVI. Further studies of anhydro-nucleosides
AUTHOR(S): Fox, Jack J.; Miller, Naishun C.
CORPORATE SOURCE: Cornell Univ. Med. Coll., New York, NY
SOURCE: Journal of Organic Chemistry (1963), 28, 936-41
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 58:66738
GI For diagram(s), see printed CA Issue.
AB cf. CA 57, 4748d. Syntheses are described for the preparation of the 2'-deoxy-D-threo-pentofuranosyl isomers (I, R = Me and F, resp.) of thymidine and 5-fluoro-2'-deoxyuridine via 2,3'-anhydro-nucleoside intermediates. The conversions of 3'-O-mesylthymidines with sodium benzoate in HCONMe2 are conclusively shown to proceed through 2,3'-anhydronucleoside intermediates under acid-catalyzed conditions. The reaction of certain anhydro-1- (β-D-pentofuranosyl)uracils with sodium benzoate in HCONMe2 has been studied and the role of acid catalysis as a factor in the introduction of nucleophiles into the sugar moiety of 2,2'- or 2,3'-anhydronucleosides is considered. In preliminary screening studies with mice, 1-(2-deoxy-β-D-threo-pentofuranosyl)-5-fluorouracil (I, R = F)(II) was effective against leukemia B82T and B82A but II showed no chemotherapeutic effect against leukemia L1210 or Ehrlich ascites tumor.
IT 15981-92-7P, 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-
RL: PREP (Preparation)
(preparation of)
RN 15981-92-7 CAPLUS
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 66 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1963:66737 CAPLUS
DOCUMENT NUMBER: 58:66737
ORIGINAL REFERENCE NO.: 58:11456g-h,11457a
TITLE: Purine nucleosides. IV. The synthesis of 6-halogenated 9-β-D-ribofuranosylpurines from inosine and guanosine
AUTHOR(S): Gerster, John F.; Jones, Jesse W.; Robins, Roland K.
CORPORATE SOURCE: Arizona State Univ., Tempe
SOURCE: Journal of Organic Chemistry (1963), 28, 945-8
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 58:66737
GI For diagram(s), see printed CA Issue.

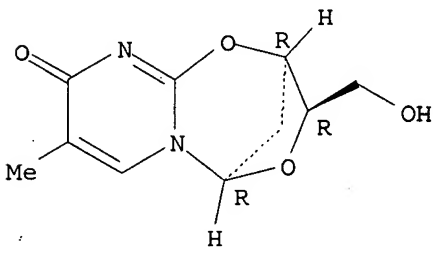
AB cf. CA 58, 6915h. The present work is a study of the introduction of various halogens into purine ribosides at position 6. Under carefully controlled conditions the sugar moiety may be retained. These compounds provide many new and useful synthetic purine nucleoside intermediates which are now readily available from natural sources. For example, I (X = H) was obtained from inosine and I (X = H2N) from guanosine.

IT 15981-92-7P, 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-
RL: PREP (Preparation)
(preparation of)

RN 15981-92-7 CAPLUS

CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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                E MEDTRONIC MINIMED/PA
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L2             4 S L1 AND THYMIDINE
                E WALSH JOSEPH/IN
L3           103 S E3-8
L4             8 S L3 AND  THYMIDINE

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L6          8517 S E1-E6

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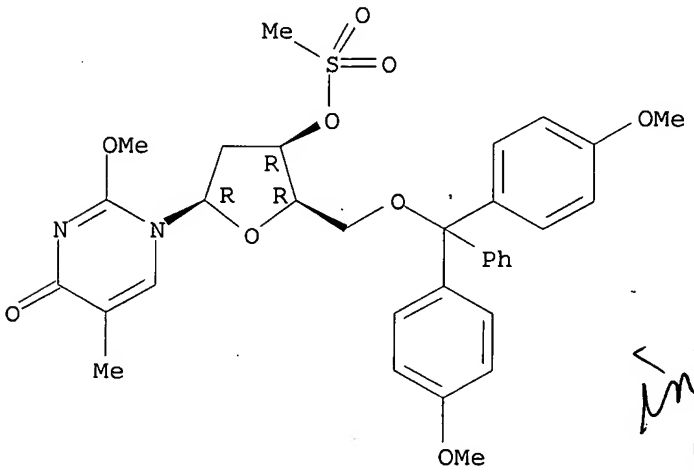
L12 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN
RN 852689-55-5 REGISTRY
CN 4(1H)-Pyrimidinone, 1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-2-deoxy-3-O-(methylsulfonyl)-β-D-threo-pentofuranosyl]-2-methoxy-5-methyl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C33 H36 N2 O9 S
SR CA
LC STN Files: CA, CAPLUS, CASREACT, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.



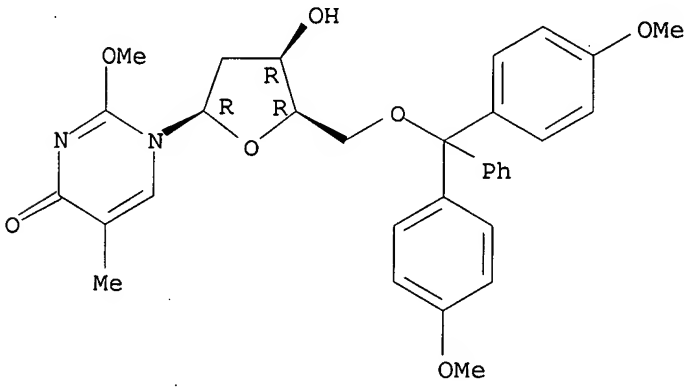
Instant compound claim 21 or 29

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L11 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN
RN 852689-54-4 REGISTRY
CN 4(1H)-Pyrimidinone, 1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-2-deoxy-β-D-threo-pentofuranosyl]-2-methoxy-5-methyl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C32 H34 N2 O7
SR CA
LC STN Files: CA, CAPLUS, CASREACT, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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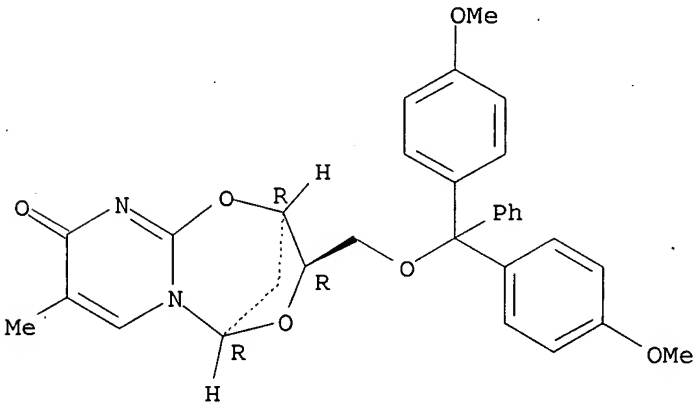
L8 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN
RN 191474-13-2 REGISTRY
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one,
3-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-2,3-dihydro-8-methyl-,
(2R,3R,5R)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one,
3-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-2,3-dihydro-8-methyl-,
[2R-(2α,3β,5α)]-
FS STEREOSEARCH
MF C31 H30 N2 O6
SR CA
LC STN Files: CA, CAPLUS, CASREACT, USPATFULL
DT.CA CAplus document type: Journal; Patent
RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)
RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10 REFERENCES IN FILE CA (1907 TO DATE)
10 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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L7 1 15981-92-7/RN

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SET COMMAND COMPLETED

=> D L7 SQIDE 1-

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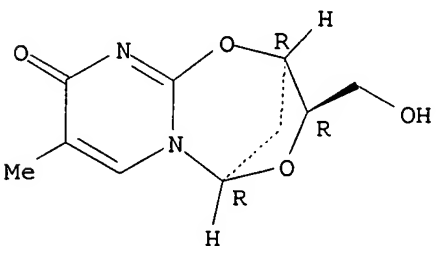
THE ESTIMATED COST FOR THIS REQUEST IS 6.36 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L7 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN
RN 15981-92-7 REGISTRY
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, (2R,3R,5R)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl- (7CI)
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, stereoisomer (8CI)
CN 2,5-Methano-5H,9H-pyrimido[2,1-b][1,5,3]dioxazepin-9-one, 2,3-dihydro-3-(hydroxymethyl)-8-methyl-, [2R-(2α,3β,5α)]-
OTHER NAMES:
CN 2,3'-Anhydro-1-(2'-deoxy-β-D-threo-pentofuranosyl)thymine
CN 2,3'-O-Cyclothymidine
CN NSC 144601
CN O2,3'-Cyclothymidine
FS STEREOSEARCH
DR 471257-57-5, 133000-27-8, 148665-51-4
MF C10 H12 N2 O4
LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS, CASREACT, CHEMCATS, CHEMINFORMRX, CSCHEM, PS, TOXCENTER, USPATFULL
(*File contains numerically searchable property data)
DT.CA CAplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
RLD.P Roles for non-specific derivatives from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation); PROC (Process); PRP (Properties); RACT (Reactant or reagent)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

58 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
58 REFERENCES IN FILE CAPLUS (1907 TO DATE)
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

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=> s l3 and  THYMIDINE
L4            8 L3 AND THYMIDINE

=> d ibib 1-8

L4     ANSWER 1 OF 8     EPFULL   COPYRIGHT 2006 EPO/FIZ KA on STN

ACCESSION NUMBER:        2004:140333  EPFULL
ENTRY DATE PATENT:       20050824
ENTRY DATE PUBLICATION:  20050824
UPDATE DATE PUBLICAT.:   20050824
DATA UPDATE DATE:        20050824
DATA UPDATE WEEK:        200534
TITLE (ENGLISH):         METHOD FOR PREPARING RADIOLABELED THYMIDINE
                         HAVING LOW CHROMOPHORIC BYPRODUCTS
TITLE (FRENCH):          PROCEDE DE PREPARATION DE THYMIDINE
                         RADIOMARQUEE POSSEDANT DE FAIBLES QUANTITES DE
                         SOUS-PRODUITS CHROMOPHORES
INVENTOR(S):             WALSH, Joseph C., CTI Molecular Technologies,
                         Inc., 6140 Bristol Parkway, Culver City, CA 90230,
                         US; PADGETT, Henry C., CTI Molecular Technologies,
                         Inc, 6140 Bristol Parkway, Culver City, CA 90230, US;
                         YSAGUIRRE, Tanea, CTI Molecular Technologies, Inc.,
                         6140 Bristol Parkway, Culver City, CA 90230, US
PATENT APPLICANT(S):     Molecular Technologies, Inc., 810 Innovation Drive,
                         Knoxville, TN 37932, US
PATENT APPL. NUMBER:     7036830
DOCUMENT TYPE:           Patent
LANGUAGE OF FILING:      English
LANGUAGE OF PUBL.:       English
LANGUAGE OF PROCEDURE:   English
LANGUAGE OF TITLE:       English; French
PATENT INFO TYPE:        WOA2 International application published without search
                         report
PATENT INFORMATION:
                         NUMBER              KIND     DATE
                         ---------------------------------
                         WO 2005058247       A2 20050630
DESIGNATED STATES:       AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IS IT
                         LI LT LU MC NL PL PT RO SE SI SK TR
EXTENSION STATES:        AL BA HR LV MK YU
APPLICATION INFO.:       EP 2004-817034      A  20041215
                         WO 2004-US41955     A  20041215
PRIORITY INFO.:          US 2003-736087      A  20031215

L4     ANSWER 2 OF 8     EPFULL   COPYRIGHT 2006 EPO/FIZ KA on STN

ACCESSION NUMBER:        2004:140332  EPFULL
ENTRY DATE PATENT:       20050824
ENTRY DATE PUBLICATION:  20050824
UPDATE DATE PUBLICAT.:   20050824
DATA UPDATE DATE:        20050824
DATA UPDATE WEEK:        200534
TITLE (ENGLISH):         METHOD FOR PREPARING RADIOLABELED THYMIDINE
TITLE (FRENCH):          PROCEDE DE PREPARATION DE THYMIDINE
                         RADIOMARQUEE
INVENTOR(S):             WALSH, Joseph C., CTI Molecular Technologies Inc.,
                         6140 Bristol Parkway, Culver City, CA 90230, US;
                         PADGETT, Henry C., CTI Molecular Technologies Inc.,
                         6140 Bristol Parkway, Culver City, CA 90230, US
PATENT APPLICANT(S):     Molecular Technologies, Inc., 810 Innovation Drive,
                         Knoxville, TN 37932, US
PATENT APPL. NUMBER:     7036830
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DOCUMENT TYPE: Patent
LANGUAGE OF FILING: English
LANGUAGE OF PUBL.: English
LANGUAGE OF PROCEDURE: English
LANGUAGE OF TITLE: English; French
PATENT INFO TYPE: WOA2 International application published without search report

PATENT INFORMATION:

NUMBER	KIND	DATE
WO 2005058246	A2	20050630

DESIGNATED STATES: AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IS IT LI LT LU MC NL PL PT RO SE SI SK TR
EXTENSION STATES: AL BA HR LV MK YU
APPLICATION INFO.: EP 2004-817033 A 20041215
WO 2004-US41954 A 20041215
PRIORITY INFO.: US 2003-736084 A 20031215

L4 ANSWER 3 OF 8 PCTFULL COPYRIGHT 2006 Univentio on STN
ACCESSION NUMBER: 2006116629 PCTFULL ED 20061107 EW 200644
TITLE (ENGLISH): CLICK CHEMISTRY METHOD FOR SYNTHESIZING MOLECULAR IMAGING PROBES
TITLE (FRENCH): PROCEDE DE CHIMIE A HAUTE AFFINITE POUR LA SYNTHESE DE SONDES D'IMAGERIE MOLECULAIRE
INVENTOR(S): WALSH, Joseph, C., 831 Galloway Street, Pacific Palisades, California 90272, US;
KOLB, Hartmuth, C., 6413 Pacific Ave., Playa Del Rey, CA 90293, US;
CHEN, Kai, 2850 S. Sepulveda Blvd., Apt. 11, Los Angeles, CA 90064, US
PATENT ASSIGNEE(S): SIEMENS MEDICAL SOLUTIONS USA, INC., 51 Valley Stream Parkway, Malvern, Pennsylvania 19355-1406, US
AGENT: DOUGLASS, John, Kirkland, Jr.$, SIEMENS CORPORATION, INTELLECTUAL PROPERTY DEPARTMENT, 170 Wood Avenue South, Iselin, New Jersey 088; 08830$, US
LANGUAGE OF FILING: English
LANGUAGE OF PUBL.: English
DOCUMENT TYPE: Patent
PATENT INFORMATION:

NUMBER	KIND	DATE
WO 2006116629	A2	20061102

DESIGNATED STATES
W: AE AG AL AM AT AU AZ BA BB BG BR BW BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE EG ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KM KN KP KR KZ LC LK LR LS LT LU LV LY MA MD MG MK MN MW MX MZ NA NG NI NO NZ OM PG PH PL PT RO RU SC SD SE SG SK SL SM SY TJ TM TN TR TT TZ UA UG US UZ VC VN YU ZA ZM ZW
RW (ARIPO): BW GH GM KE LS MW MZ NA SD SL SZ TZ UG ZM ZW
RW (EAPO): AM AZ BY KG KZ MD RU TJ TM
RW (EPO): AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IS IT LT LU LV MC NL PL PT RO SE SI SK TR
RW (OAPI): BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG
APPLICATION INFO.: WO 2006-US16088 A 20060427
PRIORITY INFO.: US 2005-60675267 20050427

L4 ANSWER 4 OF 8 PCTFULL COPYRIGHT 2006 Univentio on STN
ACCESSION NUMBER: 2005058247 PCTFULL ED 20050706 EW 200526
TITLE (ENGLISH): METHOD FOR PREPARING RADIOLABELED THYMIDINE HAVING LOW CHROMOPHORIC BYPRODUCTS
TITLE (FRENCH): PROCEDE DE PREPARATION DE THYMIDINE RADIOMARQUEE POSSEDANT DE FAIBLES QUANTITES DE

SOUS-PRODUITS CHROMOPHORES

INVENTOR(S): WALSH, Joseph C., CTI Molecular Technologies, Inc., 6140 Bristol Parkway, Culver City, CA 90230, US;
PADGETT, Henry C., CTI Molecular Technologies, Inc., 6140 Bristol Parkway, Culver City, CA 90230, US;
YSAGUIRRE, Tanea, CTI Molecular Technologies, Inc., 6140 Bristol Parkway, Culver City, CA 90230, US

PATENT ASSIGNEE(S): MOLECULAR TECHNOLOGIES, INC., 810 Innovation Drive, Knoxville, TN 37932, US [US, US], for all designates States except US

AGENT: FITCH, Morgan L.$, Pitts & Brittian, P.C, P.O. Box 51295, Knoxville, TN 37950-1295$, US

LANGUAGE OF FILING: English
LANGUAGE OF PUBL.: English
DOCUMENT TYPE: Patent
PATENT INFORMATION:

NUMBER	KIND	DATE
WO 2005058247	A2	20050630

DESIGNATED STATES
W: AE AG AL AM AT AU AZ BA BB BG BR BW BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE EG ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NA NI NO NZ OM PG PH PL PT RO RU SC SD SE SG SK SL SY TJ TM TN TR TT TZ UA UG US UZ VC VN YU ZA ZM ZW
RW (ARIPO): BW GH GM KE LS MW MZ NA SD SL SZ TZ UG ZM ZW
RW (EAPO): AM AZ BY KG KZ MD RU TJ TM
RW (EPO): AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IS IT LT LU MC NL PL PT RO SE SI SK TR
RW (OAPI): BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG

APPLICATION INFO.: WO 2004-US41955 A 20041215
PRIORITY INFO.: US 2003-10/736,087 20031215

L4 ANSWER 5 OF 8 PCTFULL COPYRIGHT 2006 Univentio on STN
ACCESSION NUMBER: 2005058246 PCTFULL ED 20050706 EW 200526
TITLE (ENGLISH): METHOD FOR PREPARING RADIOLABELED THYMIDINE
TITLE (FRENCH): PROCEDE DE PREPARATION DE THYMIDINE RADIOMARQUEE

INVENTOR(S): WALSH, Joseph, C., CTI Molecular Technologies, Inc., 6140 Bristol PArkway, Culver City, CA 90230, US;
PADGETT, Henry, C., CTI Molecular Technologies, Inc., 6140 Bristol Parkway, Culver City, CA 90230, US

PATENT ASSIGNEE(S): MOLECULAR TECHNOLOGIES, INC., 810 Innovation Drive, Knoxville, TN 37932, US [US, US], for all designates States except US

AGENT: FITCH, Morgan, L.$, Pitts & Brittian, P.C., P.O. Box 51295, Knoxville, TN 37950-1295$, US

LANGUAGE OF FILING: English
LANGUAGE OF PUBL.: English
DOCUMENT TYPE: Patent
PATENT INFORMATION:

NUMBER	KIND	DATE
WO 2005058246	A2	20050630

DESIGNATED STATES
W: AE AG AL AM AT AU AZ BA BB BG BR BW BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE EG ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NA NI NO NZ OM PG PH PL PT RO RU SC SD SE SG SK SL SY TJ TM TN TR TT TZ UA UG US UZ

VC VN YU ZA ZM ZW
RW (ARIPO): BW GH GM KE LS MW MZ NA SD SL SZ TZ UG ZM ZW
RW (EAPO): AM AZ BY KG KZ MD RU TJ TM
RW (EPO): AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IS IT LT LU MC NL PL PT RO SE SI SK TR
RW (OAPI): BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG
APPLICATION INFO.: WO 2004-US41954 A 20041215
PRIORITY INFO.: US 2003-10/736,084 20031215

L4 ANSWER 6 OF 8 USPATFULL on STN
ACCESSION NUMBER: 2006:307682 USPATFULL
TITLE: Click chemistry method for synthesizing molecular imaging probes
INVENTOR(S): Kolb, Hartmuth, Playa Del Rey, CA, UNITED STATES
Walsh, Joseph C., Pacific Palisades, CA, UNITED STATES
Chen, Kai, Los Angeles, CA, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2006263293	A1	20061123	
APPLICATION INFO.:	US 2006-413596	A1	20060427	(11)

	NUMBER	DATE	
PRIORITY INFORMATION:	US 2005-675267P	20050427	(60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: SIEMENS CORPORATION, INTELLECTUAL PROPERTY DEPARTMENT, 170 WOOD AVENUE SOUTH, ISELIN, NJ, 08830, US
NUMBER OF CLAIMS: 47
EXEMPLARY CLAIM: 1
LINE COUNT: 1633

L4 ANSWER 7 OF 8 USPATFULL on STN
ACCESSION NUMBER: 2005:152310 USPATFULL
TITLE: Method for preparing radiolabeled thymidine
INVENTOR(S): Walsh, Joseph C., Pacific Palisades, CA, UNITED STATES
Padgett, Henry C., Hermosa Beach, CA, UNITED STATES
PATENT ASSIGNEE(S): CTI PET Systems, Inc. (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2005131224	A1	20050616	
APPLICATION INFO.:	US 2003-736084	A1	20031215	(10)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: PITTS AND BRITTIAN P C, P O BOX 51295, KNOXVILLE, TN, 37950-1295, US
NUMBER OF CLAIMS: 34
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Page(s)
LINE COUNT: 554
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 8 OF 8 USPATFULL on STN
ACCESSION NUMBER: 2005:152309 USPATFULL
TITLE: Method for preparing radiolabeled thymidine having low chromophoric byproducts
INVENTOR(S): Walsh, Joseph C., Pacific Palisades, CA, UNITED STATES
Padgett, Henry C., Hermosa Beach, CA, UNITED STATES

	Ysaguirre, Tanea, Los Angeles, CA, UNITED STATES			
PATENT ASSIGNEE(S):	CTI PET Systems, Inc. (U.S. corporation)			
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2005131223	A1	20050616	
APPLICATION INFO.:	US 2003-736087	A1	20031215	(10)
DOCUMENT TYPE:	Utility			
FILE SEGMENT:	APPLICATION			
LEGAL REPRESENTATIVE:	PITTS AND BRITTIAN P C, P O BOX 51295, KNOXVILLE, TN, 37950-1295, US			
NUMBER OF CLAIMS:	50			
EXEMPLARY CLAIM:	1			
NUMBER OF DRAWINGS:	2 Drawing Page(s)			
LINE COUNT:	553			

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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                    6390 USINGEN, DE/PA
E2           1     MEDTRONIC MIDAS REX/PA
E3           2 --> MEDTRONIC MINIMED/PA
E4         350     MEDTRONIC MINIMED INC/PA
E5           1     MEDTRONIC MINIMED INC./PA
E6          85     MEDTRONIC MINIMED, INC./PA
E7          21     MEDTRONIC MINIMED, INC., NORTHRIDGE, CALIF., US/PA
E8           1     MEDTRONIC MINIMED, INC., WILMINGTON, DEL., US/PA
E9          29     MEDTRONIC PERCUSURGE INC/PA
E10          2     MEDTRONIC PERCUSURGE, INC./PA
E11          1     MEDTRONIC PERCUSURGE, INC., SUNNYVALE, CALIF., US/PA
E12         48     MEDTRONIC PHYSIO CONTROL CORP/PA

=> s e4-e8
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               "MEDTRONIC MINIMED, INC."/PA OR "MEDTRONIC MINIMED, INC., NORTHR
               IDGE, CALIF., US"/PA OR "MEDTRONIC MINIMED, INC., WILMINGTON,
               DEL., US"/PA)

=> s l1 and thymidine
L2           4 L1 AND THYMIDINE

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In a multifile environment, a format can only be used if it is valid
in at least one of the files.  Refer to file specific help messages
or the STNGUIDE file for information on formats available in
individual files.
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L2     ANSWER 1 OF 4      PCTFULL   COPYRIGHT 2006 Univentio on STN
ACCESSION NUMBER:         2005039622 PCTFULL  ED 20050511  EW 200518
TITLE (ENGLISH):          MODULATION OF SOCS EXPRESSION IN THE THERAPEUTIC
                          REGIMENS
TITLE (FRENCH):           MODULATION DE L'EXPRESSION DE SOCS DANS DES SCHEMAS
                          POSOLOGIQUES THERAPEUTIQUES
INVENTOR(S):              VAN ANTWERP, William, P., 26833 Pinehurst Drive,
                          Valencia, CA 91355, US [US, US];
                          GULATI, Poonam, S., 5467 La Forest Drive, La Canada, CA
                          91011, US [US, US]
PATENT ASSIGNEE(S):       MEDTRONIC MINIMED, INC., 18000 Devonshire Street,
                          Northridge, CA 91325-1219, US [US, US], for all
                          designates States except US;
                          VAN ANTWERP, William, P., 26833 Pinehurst Drive,
                          Valencia, CA 91355, US [US, US], for US only;
                          GULATI, Poonam, S., 5467 La Forest Drive, La Canada, CA
                          91011, US [US, US], for US only
AGENT:                    WOOD, William, J.$, Gates & Cooper LLP, Suite 1050,
                          6701 Center Drive West, Los Angeles, CA 90045$, US
LANGUAGE OF FILING:       English
LANGUAGE OF PUBL.:        English
DOCUMENT TYPE:            Patent
PATENT INFORMATION:
                          NUMBER              KIND     DATE
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                          WO 2005039622        A2 20050506
DESIGNATED STATES
      W:                  AE AG AL AM AT AU AZ BA BB BG BR BW BY BZ CA CH CN CO
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VC VN YU ZA ZM ZW

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RW (EAPO): AM AZ BY KG KZ MD RU TJ TM
RW (EPO): AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IT LU
MC NL PL PT RO SE SI SK TR
RW (OAPI): BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG

APPLICATION INFO.: WO 2004-US29170 A 20040908
PRIORITY INFO.: US 2003-60/513,100 20031021

L2 ANSWER 2 OF 4 PCTFULL COPYRIGHT 2006 Univentio on STN
ACCESSION NUMBER: 2003094958 PCTFULL ED 20031125 EW 200347
TITLE (ENGLISH): IMMUNOPROTECTIVE METHODS FOR BETA CELL NEOGENESIS
TITLE (FRENCH): PROCEDES D'IMMUNOPROTECTION DE LA NEOGENESE DE CELLULES BETA
INVENTOR(S): VAN ANTWERP, William, P., 26833 Pinehurst Drive, Valencia, CA 91355, US
PATENT ASSIGNEE(S): MEDTRONIC MINIMED, INC., 18000 Devonshire Street, Northridge, CA 91325-1219, US [US, US]
AGENT: WOOD, William, J.$, Gates & Cooper LLP, 6701 Center Drive West, Suite 1050, Los Angeles, CA 90045$, US
LANGUAGE OF FILING: English
LANGUAGE OF PUBL.: English
DOCUMENT TYPE: Patent
PATENT INFORMATION:

NUMBER	KIND	DATE
WO 2003094958	A1	20031120

DESIGNATED STATES
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR
CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID
IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD
MG MK MN MW MX MZ NI NO NZ OM PH PL PT RO RU SC SD SE
SG SK SL TJ TM TN TR TT TZ UA UG UZ VC VN YU ZA ZM ZW
RW (ARIPO): GH GM KE LS MW MZ SD SL SZ TZ UG ZM ZW
RW (EAPO): AM AZ BY KG KZ MD RU TJ TM
RW (EPO): AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IT LU
MC NL PT RO SE SI SK TR
RW (OAPI): BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG
APPLICATION INFO.: WO 2003-US14402 A 20030509
PRIORITY INFO.: US 2002-60/379,202 20020509

L2 ANSWER 3 OF 4 USPATFULL on STN
ACCESSION NUMBER: 2005:98562 USPATFULL
TITLE: Modulation of SOCS expression in therapeutic regimens
INVENTOR(S): Van Antwerp, William P., Valencia, CA, UNITED STATES
Gulati, Poonam S., La Canada, CA, UNITED STATES
PATENT ASSIGNEE(S): Medtronic MiniMed, Inc. (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2005084477	A1	20050421	
APPLICATION INFO.:	US 2004-936390	A1	20040908	(10)

	NUMBER	DATE	
PRIORITY INFORMATION:	US 2003-513100P	20031021	(60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: GATES & COOPER LLP, HOWARD HUGHES CENTER, 6701 CENTER

DRIVE WEST, SUITE 1050, LOS ANGELES, CA, 90045, US
NUMBER OF CLAIMS: 21
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 1 Drawing Page(s)
LINE COUNT: 1888
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L2 ANSWER 4 OF 4 USPATFULL on STN
ACCESSION NUMBER: 2003:300774 USPATFULL
TITLE: Immunoprotective methods for beta cell neogenesis
INVENTOR(S): Van Antwerp, William P., Valencia, CA, UNITED STATES
PATENT ASSIGNEE(S): Medtronic MiniMed, Inc. (U.S. corporation)

	NUMBER	KIND	DATE	
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LEGAL REPRESENTATIVE: GATES & COOPER LLP, HOWARD HUGHES CENTER, 6701 CENTER DRIVE WEST, SUITE 1050, LOS ANGELES, CA, 90045
NUMBER OF CLAIMS: 31
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 1 Drawing Page(s)
LINE COUNT: 1834
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